10/ 089,166

Connecting via Winsock to STN

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	NOV 24	MSDS-CCOHS file reloaded
NEWS 4	DEC 08	CABA reloaded with left truncation
NEWS 5	DEC 08	IMS file names changed
NEWS 6	DEC 17	DGENE: Two new display fields added
NEWS 7	DEC 18	BIOTECHNO no longer updated
NEWS 8	DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS 9	DEC 22	ABI-INFORM now available on STN
NEWS 10	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 11	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 12	FEB 05	German (DE) application and patent publication number format changes
NEWS 13	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS 14	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS 15	MAR 03	FRANCEPAT now available on STN
NEWS 16	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS 17	MAR 29	WPIFV now available on STN
NEWS 18	MAR 29	No connect hour charges in WPIFV until May 1, 2004
NEWS 19	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

10/ 089,166

FILE 'HOME' ENTERED AT 14:08:50 ON 11 APR 2004

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:08:55 ON 11 APR 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 APR 2004 HIGHEST RN 673855-15-7
DICTIONARY FILE UPDATES: 9 APR 2004 HIGHEST RN 673855-15-7

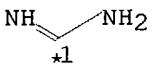
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

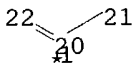
Please note that search-term pricing does apply when conducting SmartSELECT searches.

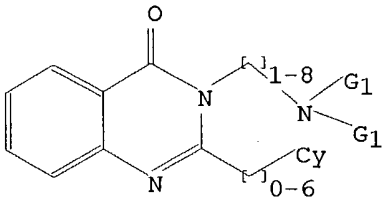
Crossover limits have been increased. See HELP CROSSOVER for details.

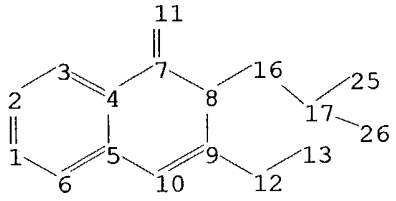
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\1008916a.str









chain nodes :
11 12 13 16 17 20 21 22 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
7-11 8-16 9-12 12-13 16-17 17-25 17-26 20-21 20-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
4-7 5-10 7-8 7-11 8-9 8-16 9-10 12-13 16-17 17-25 17-26 20-21 20-22
exact bonds :
9-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

10/ 089,166

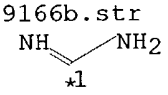
isolated ring systems :
containing 1 :

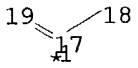
G1:H,Ak,[*1]

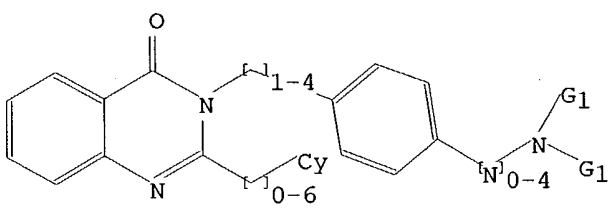
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
12:CLASS 13:Atom 16:CLASS 17:CLASS 20:CLASS 21:CLASS 22:CLASS 25:CLASS 26:CLASS

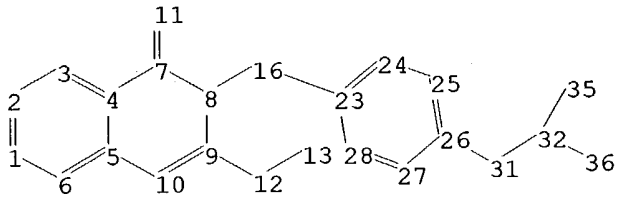
L1 STRUCTURE UPLOADED

=>
Uploading C:\STNEXP4\QUERIES\10089166b.str









chain nodes :
11 12 13 16 17 18 19 31 32 35 36
ring nodes :
1 2 3 4 5 6 7 8 9 10 23 24 25 26 27 28
chain bonds :
7-11 8-16 9-12 12-13 16-23 17-18 17-19 26-31 31-32 32-35 32-36
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 23-24 23-28 24-25 25-26
26-27 27-28
exact/norm bonds :
4-7 5-10 7-8 7-11 8-9 8-16 9-10 12-13 17-18 17-19 26-31 31-32 32-35 32-36
exact bonds :
9-12 16-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-28 24-25 25-26 26-27 27-28
isolated ring systems :
containing 1 : 23 :

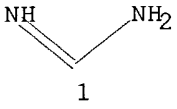
G1:H,Ak,[*1]

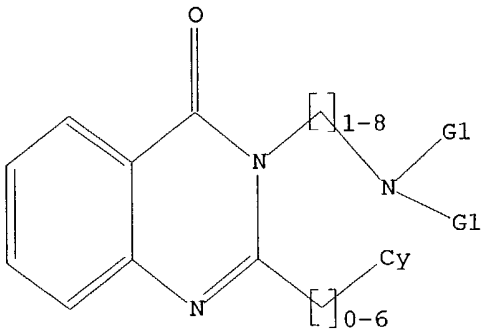
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
12:CLASS 13:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 23:Atom 24:Atom 25:Atom
26:Atom 27:CLASS 28:CLASS 31:CLASS 32:CLASS 35:CLASS 36:CLASS

L2 STRUCTURE UPLOADED

10/ 089,166

=> d l1
L1 HAS NO ANSWERS
L1 STR

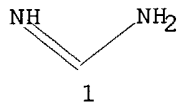


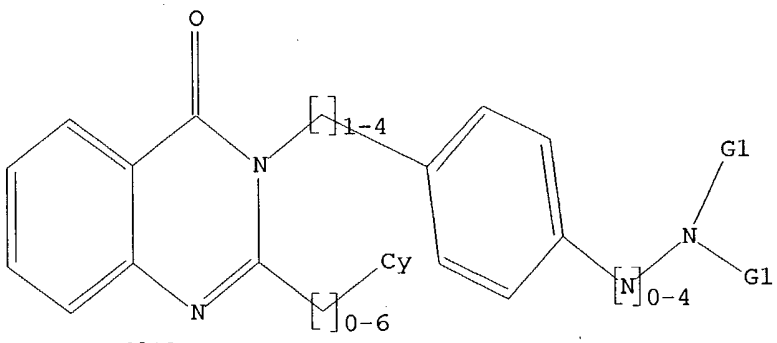


G1 H,Ak,[@1]

Structure attributes must be viewed using STN Express query preparation.

=> d l2
L2 HAS NO ANSWERS
L2 STR





G1 H,Ak,[@1]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 14:10:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 41999 TO ITERATE

10/ 089,166

100.0% PROCESSED 41999 ITERATIONS 781 ANSWERS
SEARCH TIME: 00.00.02

L3 781 SEA SSS FUL L1

=> s l2 ful
FULL SEARCH INITIATED 14:10:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 11319 TO ITERATE

100.0% PROCESSED 11319 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L4 2 SEA SSS FUL L2

=> s l3 or l4
L5 783 L3 OR L4

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 311.26 311.47

FILE 'CAPLUS' ENTERED AT 14:10:29 ON 11 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 11 Apr 2004 VOL 140 ISS 16
FILE LAST UPDATED: 9 Apr 2004 (20040409/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

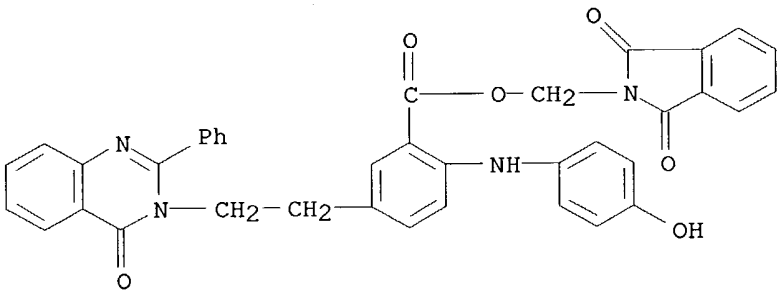
=> s l5
L6 67 L5

=> d 16 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 67 ANSWERS - CONTINUE? Y/(N):y

L6 ANSWER 1 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:321546 CAPLUS
DOCUMENT NUMBER: 139:214184
TITLE: Plant virus inhibitory action of some newly synthesized amido-alkyl benzoates
AUTHOR(S): Pandey, V. K.; Saxena, S. K.; Gupta, R. K.
CORPORATE SOURCE: Dep. of Chem., Lucknow Univ., Lucknow, 226 007, India
SOURCE: Indian Journal of Heterocyclic Chemistry (2003), Volume Date 2002, 12(3), 263-266
CODEN: IJCHEI; ISSN: 0971-1627

10/ 089,166

PUBLISHER: Prof. R. S. Varma
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:214184
AB Amido alkylation of salicylic acid with different amidoalcs. in presence of sulfuric acid furnishes 5-aryl amido/imido alkyl-2-hydroxybenzoic acids. Esterification of the benzoic acids by amidoalcs. in presence of ferric chloride results in the formation of aryl amido/imidoalkyl-5-arylamido/imido -alkyl benzoates. Reaction of this benzoates with primary aromatic amines in presence of anhyd zinc chloride affords amido/imido alkyl 2-arylamino-5-arylamido/imido alkylbenzoates. The antiviral activity of the products is reported.
IT **587023-65-2P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and plant virus inhibitory action of amido-alkyl benzoates via amido alkylation of salicylic acid with different amidoalcs.)
RN 587023-65-2 CAPLUS
CN Benzoic acid, 2-[(4-hydroxyphenyl)amino]-5-[2-(4-oxo-2-phenyl-3(4H)-quinazolinyl)ethyl]-, (1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl ester (9CI) (CA INDEX NAME)

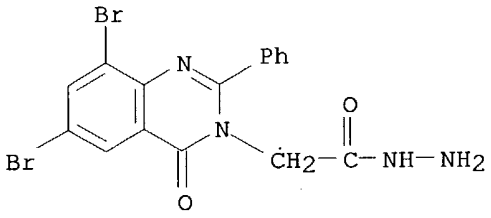


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

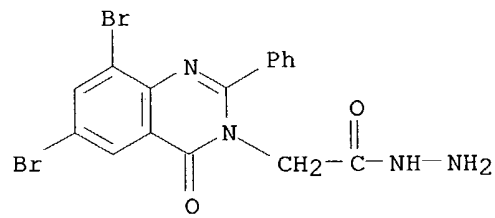
L6 ANSWER 2 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:862253 CAPLUS
DOCUMENT NUMBER: 139:292216
TITLE: Synthesis and antimicrobial activity of some pyrazoline derivatives of 4(3H)-quinazolinones. [Erratum to document cited in CA138:153499]
AUTHOR(S): Panda, J.; Srinivas, S. V.; Rao, M. E. Bhanoji; Panda, C. S.
CORPORATE SOURCE: Roland Institute of Pharmaceutical Sciences, Berhampur, 760 010, India
SOURCE: Journal of the Indian Chemical Society (2002), 79(10), 853
CODEN: JICSAH; ISSN: 0019-4522
PUBLISHER: Indian Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The corrected version of the structure diagram on page 770 is given.
IT **496050-78-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn of disubstituted pyrazoline derivs. of 4(3H)-quinazolinones from 2-substituted benzoxazinones and their antimicrobial activity (Erratum))

10/ 089,166

RN 496050-78-3 CAPLUS
CN 3(4H)-Quinazolineacetic acid, 6,8-dibromo-4-oxo-2-phenyl-, hydrazide (9CI) (CA INDEX NAME)



L6 ANSWER 3 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:775314 CAPLUS
DOCUMENT NUMBER: 138:153499
TITLE: Synthesis and antimicrobial activity of some pyrazoline derivatives of 4(3H)-quinazolinones
AUTHOR(S): Panda, J.; Srinivas, S. V.; Rao, M. E. Bhanoji; Panda, C. S.
CORPORATE SOURCE: Roland Institute of Pharmaceutical Sciences, Berhampur, 760 010, India
SOURCE: Journal of the Indian Chemical Society (2002), 79(9), 770-771
CODEN: JICSAH; ISSN: 0019-4522
PUBLISHER: Indian Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:153499
AB The present communication describes the synthesis and antimicrobial activity of some new 6,8-disubstituted-2-(phenyl/methyl)-3-[(4-(3-methyl-5-pyrazolinon-1-yl)carbonyl)phenyl/benzyl/methyl]-4(3H)-quinazolinones.
IT **496050-78-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn of disubstituted pyrazoline derivs. of 4(3H)-quinazolinones from 2-substituted benzoxazinones and their antimicrobial activity)
RN 496050-78-3 CAPLUS
CN 3(4H)-Quinazolineacetic acid, 6,8-dibromo-4-oxo-2-phenyl-, hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:535208 CAPLUS
DOCUMENT NUMBER: 138:24664

10/ 089,166

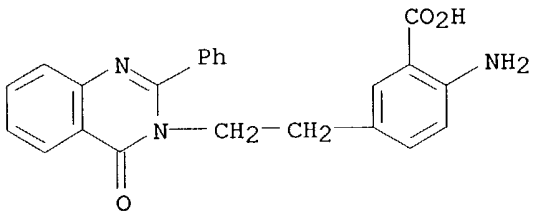
TITLE: Synthesis and antiviral activity of quinazolyl thiatriazoles
AUTHOR(S): Pandey, Vinod Kumar; Tusi, Zehra; Tusi, Sarah; Joshi, Madhawanand; Bajpai, Shashikala
CORPORATE SOURCE: Department of Chemistry, University of Lucknow, Lucknow, 226 007, India
SOURCE: Acta Pharmaceutica (Zagreb, Croatia) (2002), 52(2), 129-136
CODEN: ACPHEE; ISSN: 1330-0075
PUBLISHER: Croatian Pharmaceutical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:24664
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Aminobenzoic acids, e.g. I, were prepared via condensation of 2-aminobenzoic acid with alcs, e.g. 2-phthalimidoethanol. Benzoxazinones, e.g. II, were prepared by heterocyclization of I and BzCl in pyridine. Triazoloquinazolines, e.g. III, were prepared from II and H2NCSNHNH2. Antiviral activity of III was evaluated upon Japanese encephalitis virus (JEV) and Herpes simplex virus-1 (HSV-1) activity on vero cells in vitro.

IT **478176-38-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antiviral activity of triazoloquinazolines prepared via alkylation of aminobenzoic acid, heterocyclization of alkyl(amino)benzoic acid with benzoyl chloride, and cyclocondensation of benzoxazinones with thiosemicarbazide)

RN 478176-38-4 CAPLUS
CN Benzoic acid, 2-amino-5-[2-(4-oxo-2-phenyl-3(4H)-quinazolinyl)ethyl]- (9CI) (CA INDEX NAME)

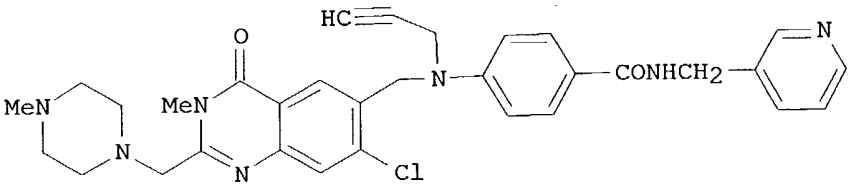


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:524028 CAPLUS
DOCUMENT NUMBER: 137:232613
TITLE: The Design and Synthesis of Water-Soluble Analogues of CB30865, a Quinazolin-4-one-Based Antitumor Agent
AUTHOR(S): Bavetsias, V.; Skelton, L. A.; Yafai, F.; Mitchell, F.; Wilson, S. C.; Allan, B.; Jackman, A. L.
CORPORATE SOURCE: Centre for Cancer Therapeutics at The Institute of Cancer Research, Chemistry Department, Cancer Research U.K. Laboratory, Cancer Research U.K., Surrey, SM2

10/ 089,166

5NG, UK
SOURCE: Journal of Medicinal Chemistry (2002), 45(17), 3692-3702
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:232613
GI



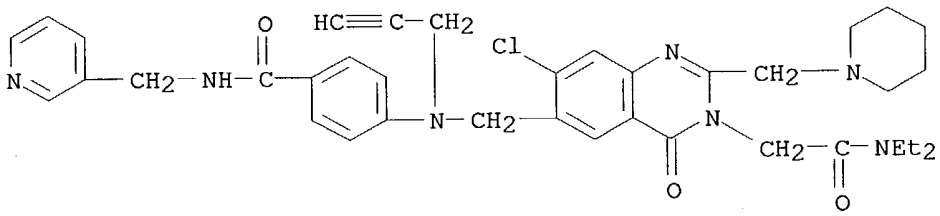
I

AB 4-[N-[7-Bromo-2-methyl-4-oxo-3,4-dihydroquinazolin-6-ylmethyl]-N-(prop-2-ynyl)amino]-N-(3-pyridylmethyl)benzamide (CB30865) is a quinazolin-4-one antitumor agent whose high growth-inhibitory activity (W1L2 IC50 = 2.8 ± 0.50 nM) is believed to have a folate-independent locus of action. In addition, CB30865 represents a class of compds. with unique biochem. characteristics such as a delayed, non-phase specific, cell-cycle arrest. The low aqueous solubility of CB30865 prompted a search for more water-soluble analogs for in vivo evaluation of this class of compds. It was thought that aqueous solubility could be increased by the introduction of amino functionalities at the 2-position of the quinazolin-4-one ring. A variety of compds. were synthesized in a linear fashion starting from 3-chloro-4-methylaniline. Most of these compds. were significantly more water-soluble than CB30865 (636 μM for I at pH 6). In addition, some of them were up to 6-fold more cytotoxic than CB30865 (e.g., for I, W1L2 IC50 = 0.49 ± 0.24 nM) and retained its novel biochem. characteristics.

IT **289715-46-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of pyridinylmethylcarbamoylanilinomethylquinazolinones as water-soluble analogs of CB30865)

RN 289715-46-4 CAPLUS

CN 3(4H)-Quinazolineacetamide, 7-chloro-N,N-diethyl-4-oxo-2-(1-piperidinylmethyl)-6-[[2-propynyl[4-[[(3-pyridinylmethyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/ 089,166

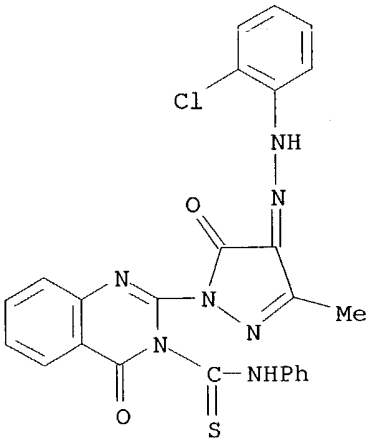
L6 ANSWER 6 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:222320 CAPLUS
DOCUMENT NUMBER: 138:4553
TITLE: Synthesis and antimicrobial activity of some 5-pyrazolone derivatives
AUTHOR(S): Salman, A. S. S.
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Girl's Branch, Al- Azhar University, Nasr City, Egypt
SOURCE: Al-Azhar Journal of Pharmaceutical Sciences (2001), 28, 48-62
CODEN: AAJPFT; ISSN: 1110-1644
PUBLISHER: Al-Azhar University, Faculty of Pharmacy
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:4553
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Reaction of pyrazolone I (R = H) with β-(p-phenylbenzoyl)acrylic acid and acrylonitrile afforded propionic acid derivative and (cyanoethyl)pyrazolone derivative resp. Condensation of thionocarbamoylpyrazolone I [R = CSNH2 (II)] with anthranilic acid and Et cyanoacetate produced quinazolinone III and pyridazine derivs. Treatment of III with p-toluenesulfonyl chloride, phenylisothiocyanate, acrylonitrile and acetic anhydride yielded 3-substituted quinazolinones. Reaction of pyrazolone II with chloroacetic acid afforded thiazolinone IV. The structures of the new compds. were confirmed by elemental analyses, spectroscopic measurements, and chemical reactions. Some of the newly synthesized compds. showed interesting antibacterial activities in vitro.

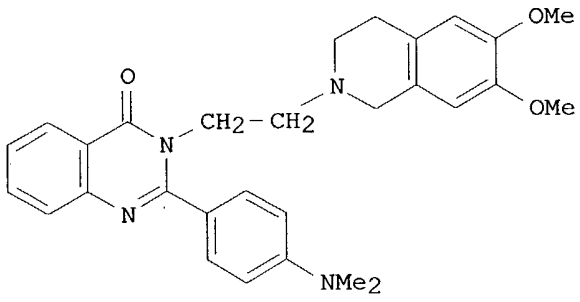
IT **477283-24-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of pyrazolones via cyclocondensation of (chlorophenyl)hydrazonoacetoacetate with hydrazine and semicarbazide followed by modifications of N-substituents)

RN 477283-24-2 CAPLUS
CN 3(4H)-Quinazolinecarbothioamide, 2-[4-[(2-chlorophenyl)hydrazono]-4,5-dihydro-3-methyl-5-oxo-1H-pyrazol-1-yl]-4-oxo-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:116950 CAPLUS
DOCUMENT NUMBER: 137:163309
TITLE: Studies on Quinazolinones as Dual Inhibitors of Pgp and MRP1 in Multidrug Resistance
AUTHOR(S): Wang, Shouming; Ryder, Hamish; Pretswell, Ian; Depledge, Paul; Milton, John; Hancox, Timothy C.; Dale, Ian; Dangerfield, Wendy; Charlton, Peter; Faint, Richard; Dodd, Rory; Hassan, Stephanie
CORPORATE SOURCE: Department of Medicinal Chemistry, Xenova Ltd., Slough, Berkshire, SL1 4NL, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(4), 571-574
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:163309
GI



I

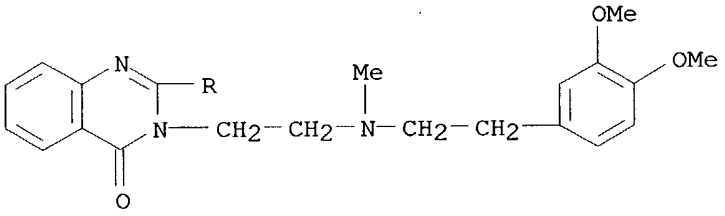
AB We have identified a series of quinazolinone analogs with potent dual inhibitory activities against both P glycoprotein (Pgp) and MRP1. Compound

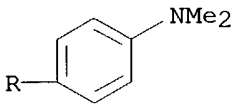
I exhibits equal potentiation activity in both assays and appears to be slightly more active than VX-710 in reversal of Pgp and MRP1 mediated drug resistance.

IT **446293-71-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(quinazolinone analogs with dual inhibitory activities against P glycoprotein and MRP1)

RN 446293-71-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-[2-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]ethyl]-2-[4-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:730046 CAPLUS

DOCUMENT NUMBER: 136:37574

TITLE: New antihistaminic agents. Part 6. Synthesis and H1-antihistaminic evaluation of 3-[(N,N-dialkylamino)alkyl]-6-halo-2-phenyl-3,4-dihydroquinazolin-4(3H)-ones

AUTHOR(S): Singh, S. Dev; Raju, M. Bhagavan; Bahekar, Rajesh H.; Rajan, K. S.; Rao, A. Raghu Ram

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, V.L. College of Pharmacy, Raichur, 584 101, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2001), 40B(9), 813-816
CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication

DOCUMENT TYPE: Journal

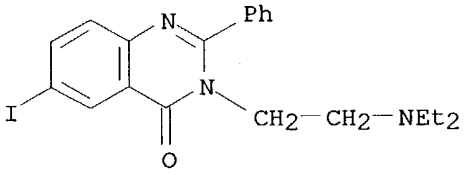
LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:37574

AB Eight new 3-(N,N-dialkylamino)alkyl derivs. of 2-phenyl-3,4-dihydroquinazolin-4(3H)-ones (3a-h) were synthesized as antihistaminic agents. The in vitro and in vivo H1-antihistaminic potencies of 3a-h were evaluated by isolated guinea pig ileum method and histamine chamber method resp. Among the compds. tested, 3-[3-(dibutylamino)propyl]-3,4-dihydro-6-iodo-2-phenyl-4-quinazolinone, is the most potent with the percentage protection (in vivo) 74.77% and IC50 (in vitro) 1.3 + 10-3 g/L.

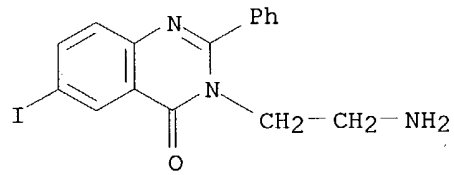
IT **263709-03-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)
(preparation and antihistaminic activity of quinazolinones)
RN 263709-03-1 CAPLUS
CN 4(3H)-Quinazolinone, 3-[2-(diethylamino)ethyl]-6-iodo-2-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:600056 CAPLUS
DOCUMENT NUMBER: 136:167344
TITLE: Synthesis of some new substituted quinazoline derivatives and their antimicrobial screening
AUTHOR(S): Abdel-Hamide, Sami G.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, College of Pharmacy, King Saud University, Riyadh, 11451, Saudi Arabia
SOURCE: Saudi Pharmaceutical Journal (2001), 9(2), 72-84
CODEN: SPJOEM; ISSN: 1319-0164
PUBLISHER: Saudi Pharmaceutical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:167344
AB A new series of 4-oxo-6-iodo-3H-quinazoline and its fused heterocyclic analogs were prepared and screened for their antimicrobial activity. Some of the compds. showed remarkable broad spectrum antimicrobial activity. The fused heterocycles 1,2,4-triazino[3,4-c]quinazoline, 1,2,4-triazolo[2,3-c]quinazoline and pyrazolo[1,5-c]quinazoline proved to contribute for activity. The detailed synthesis and their antimicrobial screening are reported.
IT **329698-87-5P**
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antimicrobial activity of quinazolines)
RN 329698-87-5 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-aminoethyl)-6-iodo-2-phenyl- (9CI) (CA INDEX NAME)



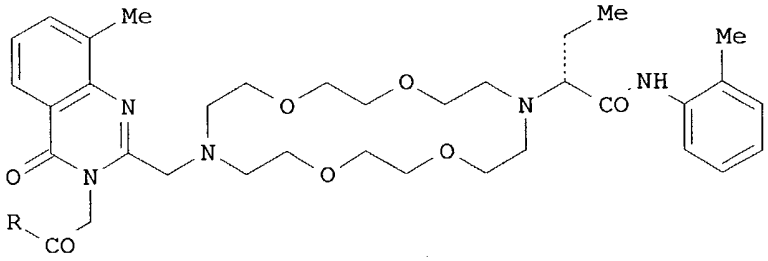
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:265417 CAPLUS
DOCUMENT NUMBER: 134:280870
TITLE: Preparation and formulation of quinazolinones and analogs for therapeutic use as local anesthetics
INVENTOR(S): Axt, Sabine A.; Church, Timothy J.; Jacobsen, John R.; Jenkins, Thomas E.; Ji, Yu-hua; Wu, Huiwei
PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025234	A1	20010412	WO 2000-US26810	20000928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6355637	B1	20020312	US 2000-671626	20000928
EP 1216243	A1	20020626	EP 2000-968488	20000928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6436919	B1	20020820	US 2000-671630	20000928

PRIORITY APPLN. INFO.: US 1999-157368P P 19991001
WO 2000-US26810 W 20000928

OTHER SOURCE(S): MARPAT 134:280870
GI



I

AB Quinazolinones, such as L1-X-L2, [L1 = heterocyclyl, such as quinazolin-2-yl, 3,1-benzoxazin-2-yl, 3,1-benzthiazin-2-yl, etc.; L2 = ArW; Ar = aryl, heteroaryl, cycloalkyl, etc.; W = linking group, such as alkyl, alkylcarbonyloxy, etc.; X = linking group, such as aminoalkylamino, 1,4,10,13-tetraoxa-7,16-diazacyclooctadecan-7,16-diyl, etc.], were prepared and formulated for use as local anesthetics. Thus, quinazolinone I (R = 4-morpholinyl) was via a multistep synthetic sequence starting from PhCH2OCONHCH2CO2H, morpholine, 3-Me-4-NO2C6H3CO2H, ClCOCH2Cl, (R)-MeCH2CH(NH2)CO2H, and H(OCH2CH2)3Cl. The prepared quinazolinones were tested for anesthetic activity by the whole cell variant of the patch-clamp method and by the rat sciatic nerve sucrose-gap assay.

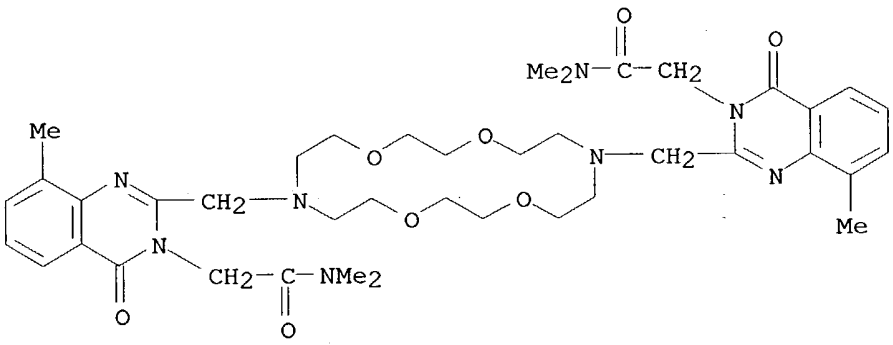
10/ 089,166

Various pharmaceutical formulations for both topical application and injection were presented.

IT **333794-10-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and formulation of quinazolin-2-ones, which modulate voltage-gated sodium channels, for therapeutic use as local anesthetics)

RN 333794-10-8 CAPLUS

CN 3(4H)-Quinazolineacetamide, 2,2'-[1,4,10,13-tetraoxa-7,16-diazacyclooctadecane-7,16-diylbis(methylene)]bis[N,N,8-trimethyl-4-oxo-(9CI) (CA INDEX NAME)



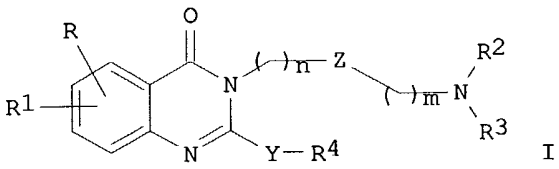
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:247321 CAPLUS
DOCUMENT NUMBER: 134:280852
TITLE: Quinazolinones useful as glycoprotein IbIX antagonists, and their preparation and use for control of thrombotic disorders
INVENTOR(S): Mederski, Werner; Devant, Ralf; Barnickel, Gerhard; Bernotat-danielowski, Sabine; Melzer, Guido; Dhanoa, Daljit; Zhao, Bao-ping; Rinker, James; Player, Mark; Soll, Richard
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany; et al.
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023365	A1	20010405	WO 2000-EP8940	20000913

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
BR 2000014294 A 20020521 BR 2000-14294 20000913
EP 1216235 A1 20020626 EP 2000-965991 20000913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL
NO 2002001502 A 20020326 NO 2002-1502 20020326
PRIORITY APPLN. INFO.: US 1999-407958 A 19990928
WO 2000-EP8940 W 20000913
OTHER SOURCE(S): MARPAT 134:280852
GI



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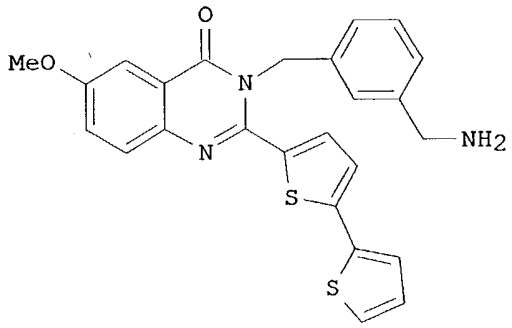

II

AB Quinazolinones I and their pharmaceutically tolerable salts and solvates are disclosed [in which R, R1 = H, A, OH, OA, OCH2Ar, Hal, NH2, NHA, NA2, NO2, cyano, COR2, CONH2, CONHA, CONA2, CO2H, CO2A, SO2A; R2, R3 = H, A, C(:NH)NH2, solid phase; R4 = Ar, phenylalkyl, cycloalkyl, Het; Y = bond, C2-4 alkylene; Z = bond, phenylene; A = (un)branched C1-6 alkyl; Ar = (un)substituted Ph, naphthyl, biphenyl, or benzofuranyl; Het = (un)substituted, (un)saturated mono- or bicyclic NOS heterocyclyl; Hal = F, Cl, Br, or iodo; n = 1-3; m = 0-3; with a variety of provisos]. The compds. are glycoprotein IbIX antagonists (no data), useful for treatment or prophylaxis of a variety of thrombotic disorders, or as anti-adhesive substances for implants, catheters, or heart pacemakers. For instance, an exemplary amine, 3-(aminomethyl)benzylamine, was supported on p-nitrophenyl carbonate resin, then coupled with various Fmoc-protected anthranilic acids. Cleavage of the Fmoc group, cyclocondensation with various aldehydes R4YCHO, oxidation of the resultant dihydroquinazolinone ring system, and cleavage from the resin with CF3CO2H, gave a variety of compds. I, e.g., the preferred compound II.

IT **332361-26-9P**, 3-(3-Aminopropyl)-2-phenyl-3H-quinazolin-4-one
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate)

RN 332361-26-9 CAPLUS

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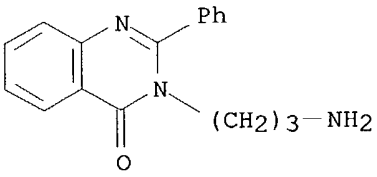
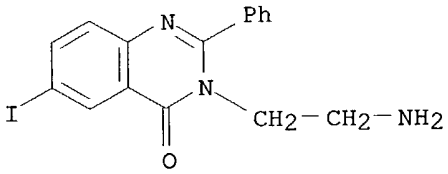
CN 4(3H)-Quinazolinone, 3-(3-aminopropyl)-2-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:784873 CAPLUS
DOCUMENT NUMBER: 134:222685
TITLE: Synthesis of some new quinazoline derivatives
AUTHOR(S): Abdel-Hamide, S. G.
CORPORATE SOURCE: Pharmaceutical Chemistry Department, Faculty of Pharmacy, Al-Azhar University, Cairo, Egypt
SOURCE: Indian Journal of Heterocyclic Chemistry (2000), 10(1), 59-64
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Prof. R. S. Varma
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:222685
AB A series of 4-(3H)quinazolinones and imidazoquinazoline, pyrimidoquinazoline, triazoloquinazoline, and triazinoquinazoline derivs. have been synthesized starting from 2-phenyl-6-iodo-3,1-benzoxazin-4-one. The structures of all the products were established on the basis of elemental analyses and spectral data.
IT **329698-87-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of some new quinazoline derivs.)
RN 329698-87-5 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-aminoethyl)-6-iodo-2-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:608742 CAPLUS
DOCUMENT NUMBER: 133:207917
TITLE: Preparation of anticancer dihydroquinazoline derivatives with a non-folate dependent locus of activity
INVENTOR(S): Skelton, Lorraine; Bavetsias, Vassilis; Jackman, Ann
PATENT ASSIGNEE(S): Cancer Research Campaign Technology Ltd., UK
SOURCE: PCT Int. Appl., 91 pp.

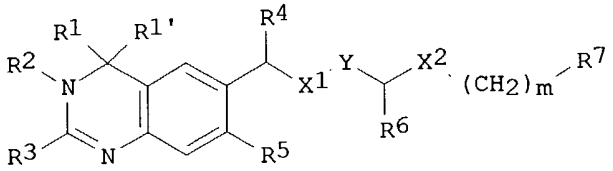
10/ 089,166

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050417	A1	20000831	WO 2000-GB655	20000224
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1155012	A1	20011121	EP 2000-905212	20000224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002537391	T2	20021105	JP 2000-600998	20000224
US 6699861	B1	20040302	US 2001-914010	20011019

PRIORITY APPLN. INFO.: GB 1999-4275 A 19990224
WO 2000-GB655 W 20000224

OTHER SOURCE(S): MARPAT 133:207917
GI



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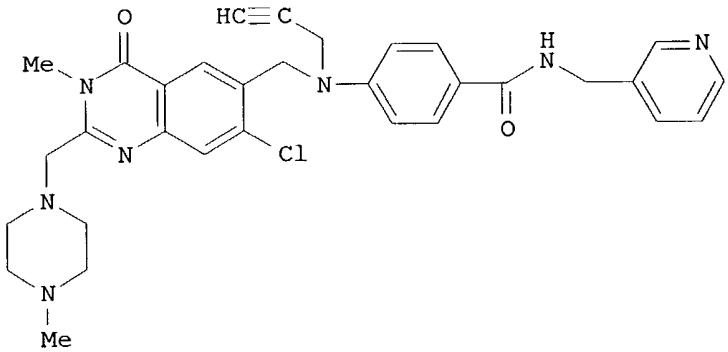


II

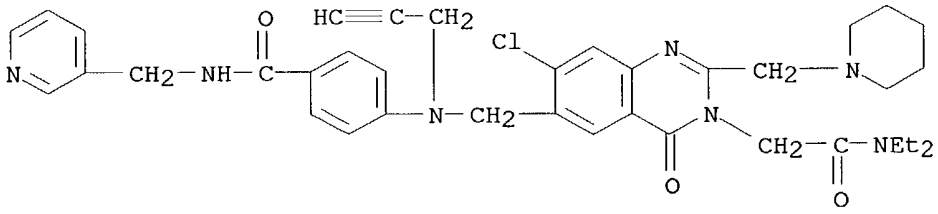
AB The title compds. (I) [wherein R1 and R1' together = :O and R2 = H, alkyl, alkyl-CO-B, alkyl-CO-alkyl-B, alkyl-CO2-alkyl-B, alkyl-CO2-alkenyl-B, or alkyl-CONH-alkyl-B; B = CO2H, OH, alkoxy, NH2, (di)alkylamino, or 5- or 6-membered heterocyclic group; or R1' and R2 together = a bond and R1 is alkylthio, NHR', or NHCOR'; R' = aryl or alkyl; R3 = (CH2)pA; p = 1-4; A = 5- or 6-membered N-containing heterocyclic ring attached via the N or NA'A"; A' and A" = independently alkyl groups; R4 = H, :O, or alkyl and R5 = H, alkyl, or halo; or R4 and R5 together with the carbon atoms to which they are attached = 5- or 6-membered carbocyclic ring; X1 and X2 = independently O, S, or NR"; R" = H, alkyl, alkenyl, or alkynyl; Y = divalent (hetero)aryl; R6 = H, :O, or alkyl; m = 1-4; R7 = pyridyl, pyrimidyl, (alkyl)imidazolyl, or (alkyl)triazolyl], and pharmaceutically

acceptable salts thereof, were prepared for the treatment or prevention of cancer. I have a different pattern of activity to known chemotherapeutic agents, which operate via inhibition of thymidylate synthase (TS), and are thought to act via a new, non-folate dependent locus like that of CB30865. For example, hydrolysis of the 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu ester (multi-step preparation given) with TFA in CH2Cl2, followed by amidation with 3-(aminomethyl)pyridine in DMF using PyBOP® in the presence of diisopropylethylamine, gave II (70%). II inhibits TS poorly compared to the known anticancer agent CB3717 (IC50 II / IC50 CB3717 > 2500). However, II (CB300919) was active against the W1L2 and W1l2:C1 cell lines, including W1L2 cells incubated in the presence of folate metabolites, with IC50 values of 0.49 nM, 0.28 nM, and 0.32 nM, resp. In a test against W1L2:R865, a CB30865 resistant cell line, II showed decreased activity with an IC50 of 13,000 nM. In addition, II demonstrated antitumor activity against CH1 ovarian and HT29 colon cancer cells in nude mice at doses that were tolerated.

IT **289715-46-4P**, CB 300941
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticancer agent; preparation of anticancer 6-[[N-(4-carbamoylphenyl)-N-(prop-2-ynyl)amino]methyl]-3,4-dihydroquinazolin-4-ones by hydrolysis and amidation of 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu esters)

RN 289715-46-4 CAPLUS

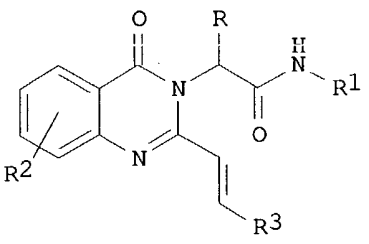
CN 3(4H)-Quinazolineacetamide, 7-chloro-N,N-diethyl-4-oxo-2-(1-piperidinylmethyl)-6-[[2-propynyl[4-[[(3-pyridinylmethyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:248569 CAPLUS
DOCUMENT NUMBER: 133:17770
TITLE: Solid phase synthesis of styrylquinazolinones
AUTHOR(S): Theoclitou, Maria-Elena; Ostresh, John M.; Hamashin, Vince; Houghten, Richard A.
CORPORATE SOURCE: Torrey Pines Institute for Molecular Studies, San Diego, CA, 92121, USA
SOURCE: Tetrahedron Letters (2000), 41(13), 2051-2054
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:17770
GI



I

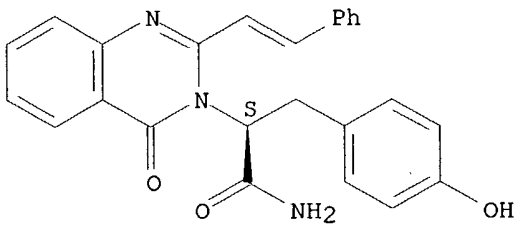
AB The solid phase synthesis of styrylquinazolinones I (R = 4-HOC6H4CH2, H, Me; R1 = H, Me, Et; R2 = H, Br, Me, NO2; R3 = Ph, 2-MeOC6H4, 4-Et2NC6H4, 2-FC6H4, 6-methyl-2-pyridinyl, 3-pyridinyl, 4-BrC6H4, 3-F3CC6H4, 2,3-F2C6H3, 3-PhOC6H4) is described. Starting from resin-bound amino acids, and employing alkylation, acylation with anthranilic acids, acetylation/cyclocondensation, and aryl aldehyde condensation reactions, the desired styrylquinazolinones were prepared in good yield and high purity.

IT **273205-37-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of styrylquinazolinones from resin-bound amino acids via alkylation, anthranilic acid acylation, acetylation/cyclocondensation, and aryl aldehyde condensation reactions)

RN 273205-37-1 CAPLUS

CN 3(4H)-Quinazolineacetamide, α-[(4-hydroxyphenyl)methyl]-4-oxo-2-(2-phenylethenyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:52810 CAPLUS
DOCUMENT NUMBER: 132:274052
TITLE: New antihistaminic agents. Part 5. Synthesis and H1-antihistaminic evaluation of 3-(N,N-dialkylamino)alkyl derivatives of 2-phenyl-3,4-dihydroquinazolin-4(3H)-ones
AUTHOR(S): Raju, V. S. Kumar; Raju, M. Bhagavan; Bahekar, Rajesh H.; Rajan, K. S.; Rao, A. Raghu Ram
CORPORATE SOURCE: Dept. of Pharmaceutical Chemistry, V.L. College of Pharmacy, Raichur, 584 101, India
SOURCE: Indian Drugs (1999), 36(12), 759-761
CODEN: INDRBA; ISSN: 0019-462X
PUBLISHER: Indian Drug Manufacturers' Association
DOCUMENT TYPE: Journal

10/ 089,166

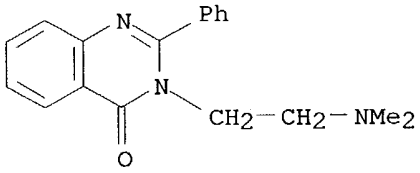
LANGUAGE: English

AB 3-[ω-(N,N-dialkylamino)ethyl- and -propyl]-2-phenyl-3,4-dihydroquinazolin-4(3H)-ones were prepared via 2-phenyl-1,3-benzoxazin-4-ones. In vitro H1-antihistaminic potencies of all the compds. were evaluated in isolated guinea pig ileum. The inhibition of contraction induced by histamine was measured. A majority of the compds. caused 100% blockade of histaminic contraction at higher levels. None of the compds. either produced an irreversible blockade or total indifference to the agonistic influence. The blockade was competitive and surmountable.

IT **62838-08-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antihistaminic activity of (aminoalkyl)hydroquinazolinones)

RN 62838-08-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-[2-(dimethylamino)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:30816 CAPLUS

DOCUMENT NUMBER: 132:194349

TITLE: Modeling directed design and biological evaluation of quinazolinones as non-peptidic growth hormone secretagogues

AUTHOR(S): Ye, Zhixiong; Gao, Yingduo; Bakshi, Raman K.; Chen, Meng-Hsin; Rohrer, Susan P.; Feighner, Scott D.; Pong, Sheng-Shung; Howard, Andrew D.; Blake, Allan; Birzin, Elizabeth T.; Locco, Louis; Parmar, Rupa M.; Chan, Wanda W.-S.; Schaeffer, James M.; Smith, Roy G.; Patchett, Arthur A.; Nargund, Ravi P.

CORPORATE SOURCE: Merck Research Laboratories, Department of Medicinal Chemistry, Rahway, NJ, 07065, USA

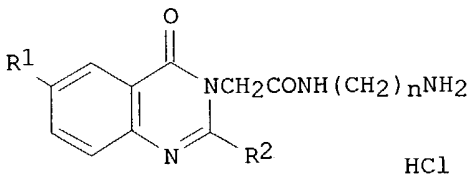
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(1), 5-8
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



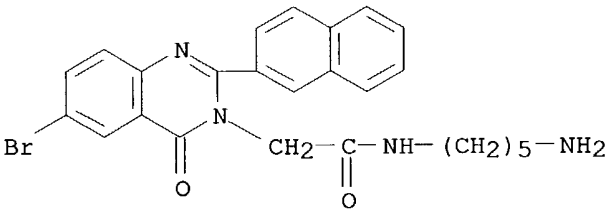
HCl I

AB Quinazolinone derivs. I [R1 = Br, Ph; R2 = 2-naphthyl, PhCH2CH2; n = 5, 6] were synthesized and evaluated as non-peptidic growth hormone secretagogues. Modeling guided design of I [R1 = Ph, R2 = PhCH2CH2, n = 6] led to a potency enhancement of > 200-fold compared to human growth hormone secretagogue affinity of a screening lead.

IT **259730-88-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of quinazolineacetamides as human growth hormone secretagogues)

RN 259730-88-6 CAPLUS

CN 3(4H)-Quinazolineacetamide, N-(5-aminopentyl)-6-bromo-2-(2-naphthalenyl)-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:817919 CAPLUS

DOCUMENT NUMBER: 132:166193

TITLE: Synthesis of some stable 4H-3,1-benzoxazin-4-ones and their behavior toward nucleophiles

AUTHOR(S): Madkour, H. M. F.; Soliman, El-Sayed A.; Salem, Mounir A. I.; El-Bordainy, Eman A. A.

CORPORATE SOURCE: Pol.

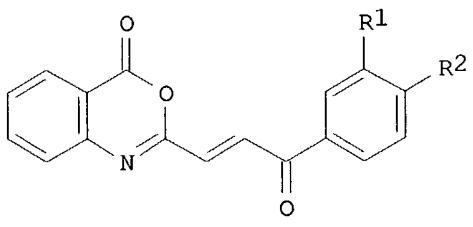
SOURCE: Bulletin of the Polish Academy of Sciences, Chemistry (1999), 47(3), 217-229
CODEN: BPACEQ; ISSN: 0239-7285

PUBLISHER: Polish Academy of Sciences

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

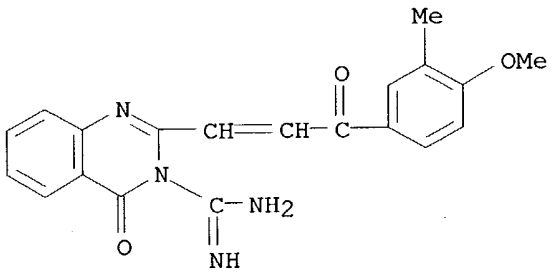
10/ 089,166

AB 4H-3,1-Benzoxazin-4-ones I (R1 = Me, R2 = OMe; R1 = H, R2 = OEt) were synthesized from anthranilic acid derivs. using acetic anhydride as a dehydrating agent. The effect of some nucleophiles, namely, primary aromatic amines, hydrazine hydrate, Grignard reagents, and sulfa drugs, on I was investigated. 1H- and 13C-NMR, mass, and IR spectra and microanalyses were used to elucidate the structures of new compds.

IT **258820-72-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 4H-3,1-benzoxazin-4-ones and their behavior toward nucleophiles)

RN 258820-72-3 CAPLUS

CN 3(4H)-Quinazolinecarboximidamide, 2-[3-(4-methoxy-3-methylphenyl)-3-oxo-1-propenyl]-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:707270 CAPLUS

DOCUMENT NUMBER: 132:30328

TITLE: Molecular modeling study of diltiazem mimics at L-type calcium channels

AUTHOR(S): Schleifer, Klaus-Jurgen; Tot, Edith

CORPORATE SOURCE: Institute for Pharmaceutical Chemistry, Heinrich-Heine-Universitat Dusseldorf, Dusseldorf, D-40225, Germany

SOURCE: Pharmaceutical Research (1999), 16(10), 1506-1513
CODEN: PHREEB; ISSN: 0724-8741

PUBLISHER: Kluwer Academic/Plenum Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

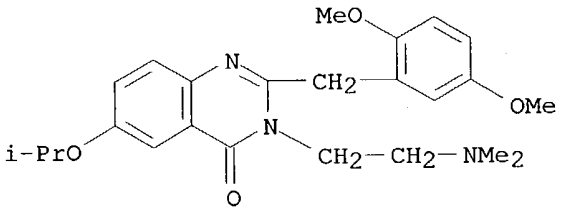
AB Purpose. A theor. study was performed to generate a pharmacophore model for chemical diverse structures that specifically interact with the diltiazem binding site of L-type calcium channels. Methods: Via mol. mechanics and quantum chemical methods solvation energies, logP values, conformational and electronic features of classical 1,5-benzothiazepin-4(5H)-one (BTZ, e.g., diltiazem), 1-benzazepin-2-one (BZ), pyrrolo[2,1-d][1,5]benzothiazepine, pyrrolo[2,1-c][1,4]benzothiazine, and benzobicyclo[2.2.2]octyl amines derivs. were determined Furthermore, the mol. electrostatic potentials (MEPs) and common interaction fields derived from use of the GRID program were compared. Results: This yielded a pharmacophore model with three crucial pharmacophoric characteristics, (1) two aromatic ring systems in a distance of about 6.7 A, (2) a basic side chain with pKa in the physiol. range, and (3) a 4'-methoxy moiety. In addition, a strong neg. MEP in 4-position (carbonyl oxygen) and hydrophobic electron-rich features in the position equivalent to the sulfur atom of BTZ derivs. were explored to be favorable for receptor binding and calcium antagonistic effect. Moreover, the stabilizing effect of substituents in 3-position of BZs on the bioactive

"M" twist-boat conformation of the heptagonal ring could be demonstrated by mol. dynamics simulations. Conclusions: Based on these mol. descriptors, the quinazolinone derivative MCI-176 is predicted to be a potential ligand of the diltiazem binding site.

IT **103315-31-7**, Mci-176
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mol. modeling study of diltiazem mimics at calcium channels)

RN 103315-31-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-[(2,5-dimethoxyphenyl)methyl]-3-[2-(dimethylamino)ethyl]-6-(1-methylethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:290179 CAPLUS

DOCUMENT NUMBER: 131:31917

TITLE: Synthesis of new quinazolin-4-ones of medicinal importance

AUTHOR(S): Kawadkar, R. K.; Ghiya, B. J.

CORPORATE SOURCE: Chemistry Department, Institute of Science, Nagpur, 440 001, India

SOURCE: Asian Journal of Chemistry (1999), 11(2), 388-391
CODEN: AJCHEW; ISSN: 0970-7077

PUBLISHER: Asian Journal of Chemistry

DOCUMENT TYPE: Journal

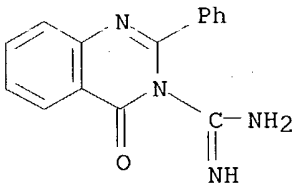
LANGUAGE: English

AB Some new quinazolin-4-ones have been synthesized using different amino compds., viz., ammonia, hydrazine hydrate, urea, thiourea, formamide, guanidine carbonate, etc., with benzoxazinones. Further condensations of these quinazolinones were carried out using piperazine-formaldehyde or Ph isothiocyanate. Significant antimicrobial activities were observed for some products.

IT **226879-16-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)

RN 226879-16-9 CAPLUS

CN 3(4H)-Quinazolinecarboximidamide, 4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:19452 CAPLUS
DOCUMENT NUMBER: 130:177140
TITLE: Correspondence analysis of protein kinase C (PKC) inhibition by bis-basic substituted benzamides
AUTHOR(S): Gilbert, Jacques; Cheminant, Michel; Bignon, Eric; Pons, Michel; Ojasoo, Tiiu; Dore, Jean-Christophe
CORPORATE SOURCE: CNRS-SIRCOB, Universite de Versailles/St. Quentin-en-Yvelines, Versailles, 78000, Fr.
SOURCE: Drug Design and Discovery (1998), 15(4), 253-267, 2 plates
CODEN: DDDIEV; ISSN: 1055-9612
PUBLISHER: Harwood Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

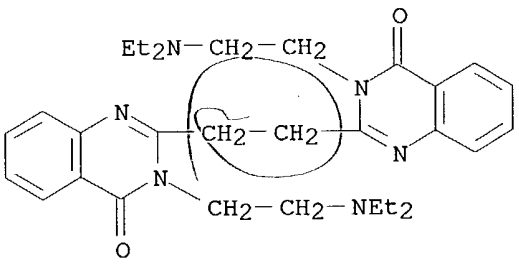
AB The synthesis of a novel series of bis-basic substituted benzamides and their relative potency in inhibiting rat brain protein kinase alpha (PKCα) activity were described. None of the compds. inhibited enzyme activity via the catalytic domain but several did via the regulatory domain at 1-5μM concns. Inhibition was comparable to that of several di- and triphenylacrylonitriles and triphenylethylenes. According to a multivariate factor (correspondence) anal. of QSAR descriptors, hydrophobicity (log p) and hydration energy were the most discriminant descriptors, much more so than mol. mass, molar refractivity, polarizability, mol. volume and solvent-accessible surface. Inhibitory activity was correlated with high hydrophobicity and low hydration energy. The higher potency of N,N'-oxalylbis[(o-amino)[2-(diethylamino)ethyl]benzamide] (GL9) that differed from its congener by the presence of an oxamide rather than succinamide moiety was tentatively explained by the greater neg. charges associated with the carbonyl groups of its oxamide residue. The higher potency of N,N'-terephthalylbis[(o-amino)[2-(diethylamino)ethyl]benzamide] (GL22) in which an aromatic ring is inserted between two benzamide moieties in para,para' rather than ortho,ortho' positions might be due to a planar conformation facilitating membrane insertion. In conclusion, correspondence anal. is a neat way of highlighting similarities and differences in mol. properties (QSAR descriptors and potency). Therapeutic doses of many classes of drug might interfere with the regulatory domain of PKCα if, like the test-compds., they have basic side-chain(s), high hydrophobicity, low hydration energy, a planar conformation and/or a highly charged reactive (oxamide) moiety. The compds. thus prepared were tested against tamoxifen and analogs thereof.

IT **220583-06-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and protein kinase C-inhibiting activity of benzamide derivs.)

RN 220583-06-2 CAPLUS

10/ 089,166

CN 4(3H)-Quinazolinone, 2,2'-(1,2-ethanediyl)bis[3-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)



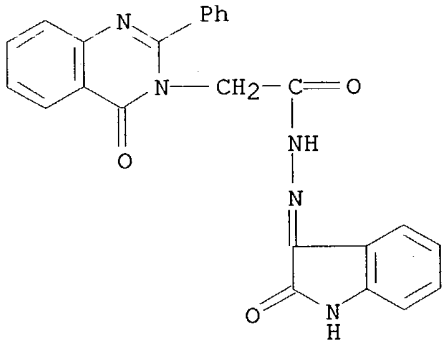
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:465071 CAPLUS
DOCUMENT NUMBER: 129:216578
TITLE: Synthesis and pharmacological activities of new isatin hydrazones
AUTHOR(S): Sarangapani, M.; Narayan Reddy, A.; Jayamma, Y.; Reddy, V. M.
CORPORATE SOURCE: Medicinal Chemistry Laboratories, University College of Pharmaceutical Sciences, Kakatiya University, Warangal, 506 009, India
SOURCE: Indian Drugs (1998), 35(6), 336-343
CODEN: INDRBA; ISSN: 0019-462X
PUBLISHER: Indian Drug Manufacturers' Association
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Some new isatin hydrazones containing different heteryl groups were synthesized. 2-Substituted quinazolinonylacetic acid hyrazides and benzoxazinonylacetic hydrazides were prepared by the reaction of hydrazine hydrate with appropriate acetates. These heterylacetic acid hydrazides were then condensed with different isatins to get the corresponding isatin hydrazones. The title compds. were screened for antimicrobial and possible pharmacol. activities, viz., analgesic, potentiation of pentobarbitone-induced narcosis, anticonvulsant, and antihistaminic activities. These compds. were found to exhibit mild antimicrobial activity and weak protection against the acetic acid-induced writhes. The compds. containing quinazolinone as an heteryl group was found to cause a moderate potentiation of pentobarbitone-induced narcosis whereas the compds. with benzoxazine as an heteryl group exhibited a mild potentiation of pentobarbitone-induced narcosis, in mice. None of the test compds. were found to possess any antihistaminic and anticonvulsant activities.

IT **212611-39-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activities of isatin hydrazones)

RN 212611-39-7 CAPLUS
CN 3(4H)-Quinazolineacetic acid, 4-oxo-2-phenyl-, (1,2-dihydro-2-oxo-3H-indol-3-ylidene)hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:994818 CAPLUS
DOCUMENT NUMBER: 124:117591
TITLE: Preparation and formulation of quinazolinonylbenzylphosphonic acid diester derivatives as hypolipemics, antihypertensives, and antidiabetics
INVENTOR(S): Kuroki, Yasuhisa; Miyata, Kazuyoshi; Tsuda, Yoshihiko; Inoue, Yasuhide; Kanaya, Jun; Sato, Keigo
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9524410	A1	19950914	WO 1995-JP303	19950227
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 08143586	A2	19960604	JP 1995-35261	19950223
CA 2184891	AA	19950914	CA 1995-2184891	19950227
AU 9518244	A1	19950925	AU 1995-18244	19950227
AU 679344	B2	19970626		
EP 749974	A1	19961227	EP 1995-909996	19950227
EP 749974	B1	20010627		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1147257	A	19970409	CN 1995-192824	19950227
CN 1066739	B	20010606		
AT 202567	E	20010715	AT 1995-909996	19950227
TW 379225	B	20000111	TW 1995-84102161	19950307
US 5798344	A	19980825	US 1996-704740	19960905

PRIORITY APPLN. INFO.:
JP 1994-37361 A 19940308
JP 1994-126526 A 19940608
JP 1994-251484 A 19940919
WO 1995-JP303 W 19950227

OTHER SOURCE(S): MARPAT 124:117591
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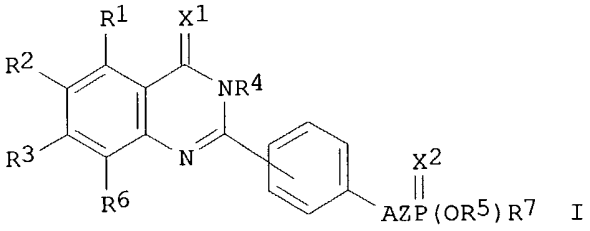

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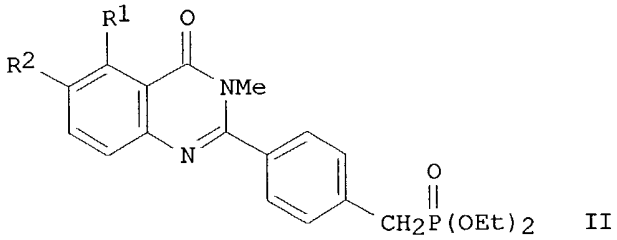


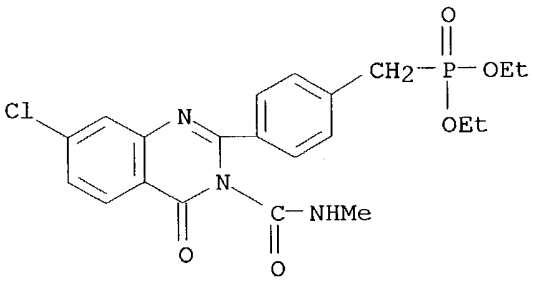
II

AB The title compds. I [R1, R2, R3 and R6 represent each independently hydrogen, lower alkyl, halogen, nitro, etc.; R4 represents Ph, lower alkyl, phenylalkyl, etc.; R5 represents lower alkyl; R7 represents lower alkoxy, hydroxy, Ph, or phenylated lower alkoxy or lower alkylamino wherein the Ph group may be halogenated; X1 and X2 represent each oxygen or sulfur; A represents oxygen or a single bond; and Z represents lower alkylene] are prepared The title compound II [R1 = F; R2 = H] at 100 mg/Kg orally decreased blood glucose in rats by 50%. The title compound II [R1 = H; R2 = Br] at 100 mg/Kg orally decreased plasma triglycerides in rats by 35%.

IT **173019-12-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinonylbenzylphosphonic acid diester derivs. as hypolipemics, antihypertensives, and antidiabetics)

RN 173019-12-0 CAPLUS

CN Phosphonic acid, [[4-[7-chloro-3,4-dihydro-3-[(methylamino)carbonyl]-4-oxo-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 23 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:472988 CAPLUS

DOCUMENT NUMBER: 121:72988

TITLE: Pathological study of drug-induced lipometabolic disorder in rats and dogs

AUTHOR(S): Nakamura, Harumi; Itakura, Chitoshi

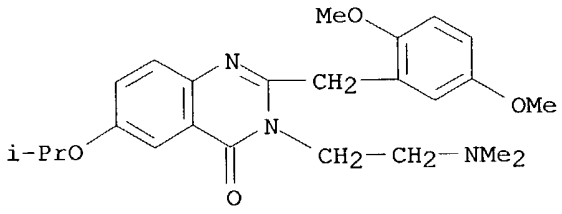
10/ 089,166

CORPORATE SOURCE: Toxicol. Lab., Mitsubishi Kasei Co., Ltd., Yokohama, 227, Japan
SOURCE: Journal of Toxicologic Pathology (1993), 6(1), 47-57
CODEN: JTPAE7; ISSN: 0914-9198
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB Two new chemical compds. (MY-7816 and MY-7674) induced a lipometabolic disorder in rats and dogs. The characteristic histol. lesions in rats administered orally with MY-7876 or MY-7674 were cytoplasmic vacuolation in hepatic cells, Kupffer cells, convoluted tubular epithelial cells, adrenal cortical cells, and other parenchymal cells. Similar cytoplasmic vacuolation and eosinophilic cytoplasmic inclusions were observed in the hepatic cells of dogs treated with MY-7674. The cytoplasmic vacuoles in the hepatic cells of both rats and dogs examined were stained bluish black with Baker stain and consisted of myelinosomes by electron microscope. These changes were similar to those in the drug-induced lipidosis. However, no vacuolated cells were found in the lymphoid organs, hematopoietic system, and nervous system. In general, such organs and systems were involved in the drug-induced lipidosis. These different findings caused by the present chems. might result from a variability in the drug-distribution or concentration in the metabolizing process.

IT **103315-31-7**, MY 7674
RL: BIOL (Biological study)
(lipometabolic disorder induced by)

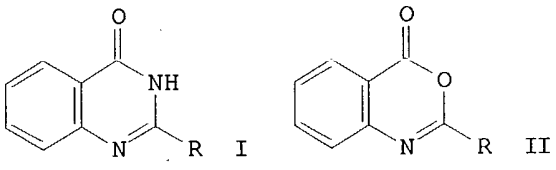
RN 103315-31-7 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(2,5-dimethoxyphenyl)methyl]-3-[2-(dimethylamino)ethyl]-6-(1-methylethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 24 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:435525 CAPLUS
DOCUMENT NUMBER: 121:35525
TITLE: Some reactions of 2-(α-naphthylmethyl)-(4H)-3,1-benzoxazin-4-one
AUTHOR(S): Hamad, M. M.; Said, S. A.; El-Farargy, A. F.; El-Gendy, G. M.
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Pakistan Journal of Scientific and Industrial Research (1993), 36(6-7), 228-31
CODEN: PSIRAA; ISSN: 0030-9885
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

10/ 089,166

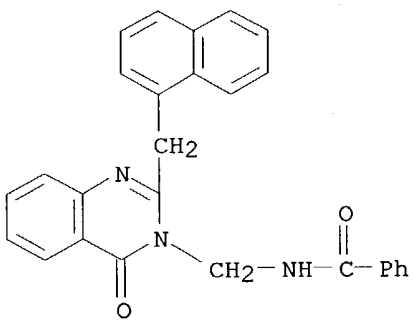


AB In this abstract, R = α-naphthylmethyl. Synthesis and reactions of 2-(α-naphthylmethyl)-4(3H)-quinazolone (I) with benzamide and succinimide were considered. Alkylation with Et chloroacetate, benzoylation, and the effect of P2S5 on I were also investigated. Reactions of the title compound II with Et chloroacetate and active methylene compds. were studied. The effect of aromatic hydrocarbons under Friedel-Crafts conditions and Grignard's reagents on II were also considered.

IT **155493-98-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 155493-98-4 CAPLUS

CN Benzamide, N-[[2-(1-naphthalenylmethyl)-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 25 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:409341 CAPLUS

DOCUMENT NUMBER: 121:9341

TITLE: Some reaction of 2-(α-naphthylmethyl)-4H-3,1-benzoxazin-4 -one

AUTHOR(S): Hamad, M. M.; Said, S. A.; El-Farargy, A. F.; El-Gendy, G. M.

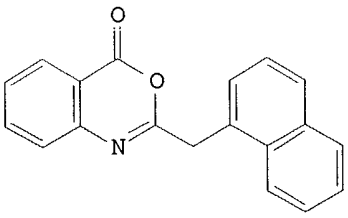
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt

SOURCE: Journal of the Bangladesh Chemical Society (1993), 6(1), 73-81
CODEN: JBLSEH; ISSN: 1022-016X

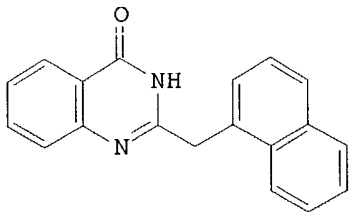
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



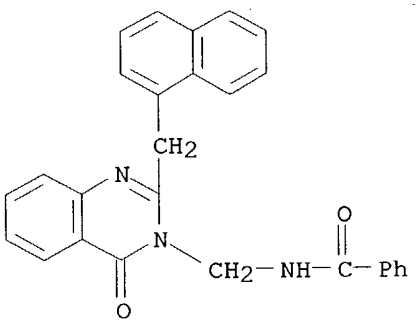
II

AB Fusion of 2-(α-naphthylmethyl)-4H-3,1-benzoxazin-4-one (I) with formamide gave 2-(α-naphthylmethyl)-4(3H)-quinazolone (II). Alkylations and benzoylation of II was also studied.

IT **155493-98-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 155493-98-4 CAPLUS

CN Benzamide, N-[[2-(1-naphthalenylmethyl)-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 26 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:144163 CAPLUS

DOCUMENT NUMBER: 120:144163

TITLE: Topical ophthalmic compositions comprising a combination of calcium antagonists with known antiglaucoma agents

INVENTOR(S): Desantis, Louis, Jr.

PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 20 PP.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323082	A1	19931125	WO 1993-US4505	19930512
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9342467	A1	19931213	AU 1993-42467	19930512
EP 639986	A1	19950301	EP 1993-911276	19930512
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07508030	T2	19950907	JP 1993-503718	19930512

10/ 089,166

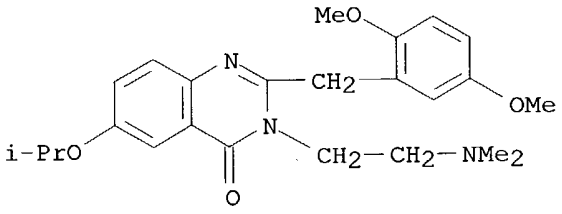
PRIORITY APPLN. INFO.: US 1992-882328 19920513
WO 1993-US4505 19930512

AB Calcium antagonists and compds. which lower intraocular pressure are combined in ophthalmic compns. to treat glaucoma. The calcium antagonists prevent or reduce the loss of visual field, while the intraocular pressure-lowering compds. maintain the intraocular pressure at normal levels.

IT **103315-31-7**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(calcium antagonist, ophthalmic compns. containing intraocular pressure-lowering agents and, for glaucoma treatment)

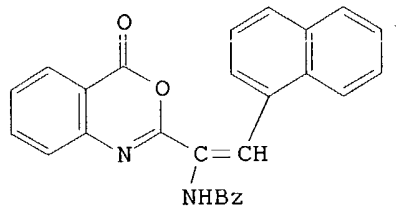
RN 103315-31-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-[(2,5-dimethoxyphenyl)methyl]-3-[2-(dimethylamino)ethyl]-6-(1-methylethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

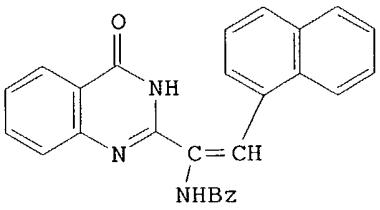


● HCl

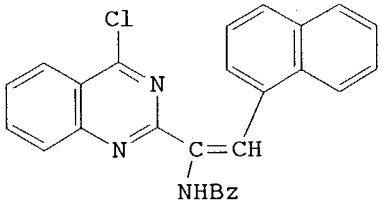
L6 ANSWER 27 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:59665 CAPLUS
DOCUMENT NUMBER: 118:59665
TITLE: Study on the stability and behavior of 2-[benzamido(naphthylidene)methyl]-4(3H)-quinazolinone
AUTHOR(S): El-Farargy, A. F.
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1991), 32(3-4), 565-74
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:59665
GI



I



II



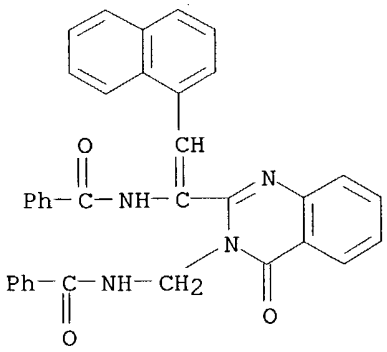
III

AB The aminolysis of 4H-3,1-benzoxazin-4-one I gave 4(3H)-quinazolinone II. The chlorination, benzoylation and Mannich reaction of II have been studied. Also, the behavior of 4-chloroquinazoline III toward acylhydrazides, sodium azide, alkylating agents, active methylene compds. and amino acids are described.

IT **145326-84-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 145326-84-7 CAPLUS

CN Benzamide, N-[1-[3-[(benzoylamino)methyl]-3,4-dihydro-4-oxo-2-quinazolinyl]-2-(1-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 28 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

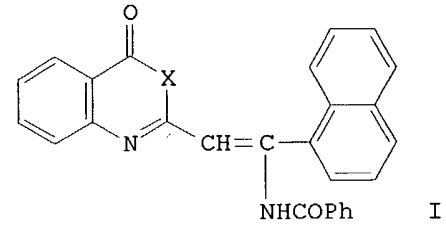
ACCESSION NUMBER: 1992:426491 CAPLUS

DOCUMENT NUMBER: 117:26491

TITLE: Study on the stability and behavior of 2-benzamido(α-naphthylidene)methyl-4-(3H)-

10/ 089,166

quinazolinone
AUTHOR(S): El-Farargy, A. F.
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Anales de Quimica (1991), 87(7), 903-6
CODEN: ANQUEX; ISSN: 1130-2283
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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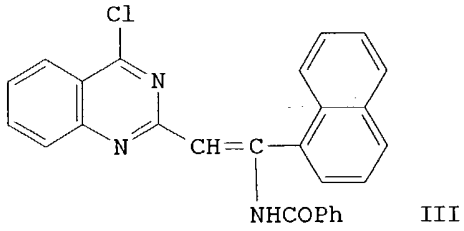
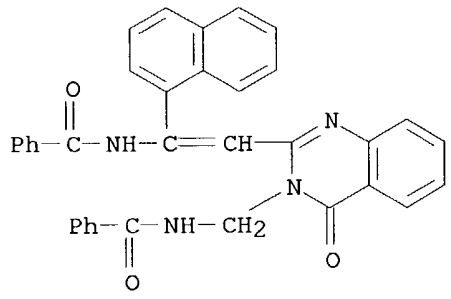


III

AB The ammonolysis of benzamido(naphthylidene)benzoxazinone I (X = O) gave I (X = NH) (II). The chlorination, benzoylation and Mannich reaction of II were studied. Also the behavior of 4-chloroquinazoline III towards acylhydrazides, sodium azide, alkylating agents, active methylene compds. and glycine is described.

IT **142009-76-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 142009-76-5 CAPLUS
CN Benzamide, N-[2-[3-[(benzoylamino)methyl]-3,4-dihydro-4-oxo-2-quinazolinyl]-1-(1-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)

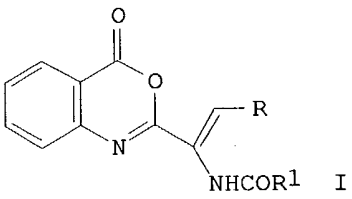


L6 ANSWER 29 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:408707 CAPLUS
DOCUMENT NUMBER: 115:8707
TITLE: Synthesis and reactions of substituted benzoxazinones bearing a bulky group at position-2. Part I

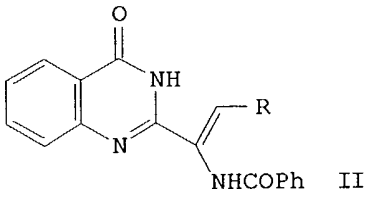
10/ 089,166

AUTHOR(S): Afify, A. A.; El-Nagdy, S.; Sayed, M. A.; Mohey, I.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Revue Roumaine de Chimie (1990), 35(4), 567-75
CODEN: RRCHAX; ISSN: 0035-3930

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:8707
GI



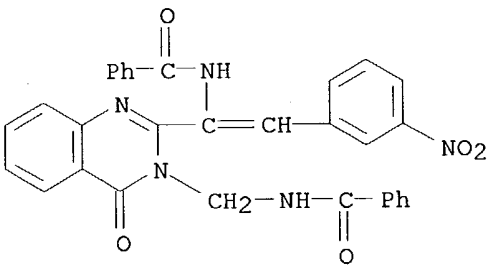
I



II

AB 2-(Substituted)-4H-3,1-benzoxazin-4-ones I (R = 4-MeOC6H4, R1 = Ph, 2-ClC6H4; R = 3-O2NC6H4, R1 = Ph) were synthesized by reaction of anthranilic acid with 2-phenyl-4-arylidene-5(4H)-oxazolones. Aminolysis of I gave N-substituted benzamides. Hydrazinolysis of I gave N-(substituted) anthranilic acid hydrazides, while ammonolysis gave 2-(substituted) quinazolin-4(3H)-ones. Treatment of 4-quinazolone derivative II (R = 4-MeOC6H4) with benzoyl chloride afforded 3-benzoyl-2-substituted quinazolin-4(3H)-one. II (R = 4-MeOC6H4) also reacts with a mixture of PCl5/POCl3 to give 2-substituted 4-chloroquinazolines. Mannich reaction of II (R = 3-O2NC6H4) with different bases gave the Mannich bases 2-substituted-3-substituted quinazolin-4(3H)-ones. The reaction of 2-substituted 4-chloroquinazoline with acylhydrazides, sodium azide, alkylating agents and amino acids yielded the corresponding quinazoline derivs., tetrazole derivative, 2,4-disubstituted quinazolines, and 2,4-substituted aminoquinazolines, resp. Ring closure of 2,4-substituted aminoquinazoline by acetic anhydride and sodium acetate gave the corresponding 5(4H)-pyrazolone derivative

IT **120572-12-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 120572-12-5 CAPLUS
CN Benzamide, N-[1-[3-[(benzoylamino)methyl]-3,4-dihydro-4-oxo-2-quinazolinyl]-2-(3-nitrophenyl)ethenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 30 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:207181 CAPLUS
DOCUMENT NUMBER: 114:207181

10/ 089,166

TITLE: Synthesis and some reactions of 2-[α-(benzoylamino)styryl]-6,8-dibromo-3,1-benzoxazin-4(H)-one, quinazolin-4(3H)-one, and chloroquinazoline derivatives with some nucleophilic reagents

AUTHOR(S): El-Nagdy, S.

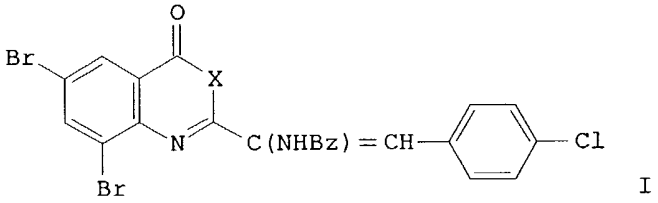
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Abbassia, Egypt

SOURCE: Asian Journal of Chemistry (1990), 2(4), 368-78
CODEN: AJCHEW; ISSN: 0970-7077

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



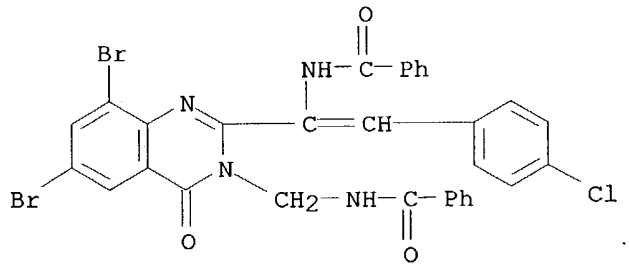
I

AB The title compds. were preparation and their reactions were investigated. Thus, 3,5-dibromoanthranilic acid was treated with 4-(p-chlorobenzylidene)-2-phenyloxazol-5-one and the product cyclized by Ac2O to give the benzoxazinone I (X = O). I (X = O) was treated with NH4OAc to give I (X = NH). I (X = O) and NH2NH2 gave 2,4,6-Br2(H2NNHCO)C6H2NHCOC(NHBz):CHC6H4Cl-p.

IT **133615-89-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 133615-89-1 CAPLUS

CN Benzamide, N-[[2-[1-(benzoylamino)-2-(4-chlorophenyl)ethenyl]-6,8-dibromo-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 31 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:156860 CAPLUS

DOCUMENT NUMBER: 114:156860

TITLE: Effects of MCI-176, a new quinazolinone calcium antagonist, on myocardial energy and carbohydrate metabolism in ischemic dog hearts

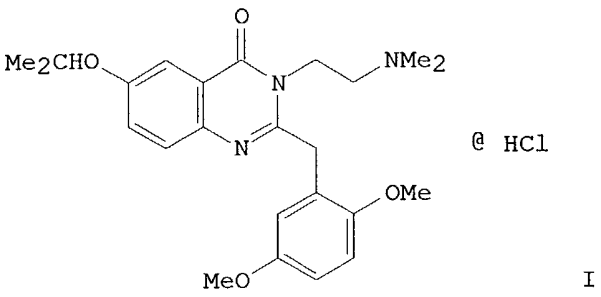
AUTHOR(S): Abe, Yuji; Ichihara, Kazuo; Abiko, Yasushi

CORPORATE SOURCE: Dep. Pharmacol., Asahikawa Med. Coll., Asahikawa, 078, Japan

SOURCE: Biochemical Pharmacology (1991), 41(3), 445-51
CODEN: BCPCA6; ISSN: 0006-2952

10/ 089,166

DOCUMENT TYPE: Journal
LANGUAGE: English
GI



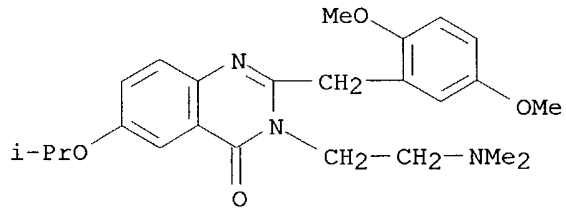
I

AB The effect of MCI-176 (I) on ischemic myocardial metabolism was studied in dog hearts subjected to an occlusion of the left anterior descending coronary artery (LAD) for 3 or 30 min. MCI-176 (0.03 or 0.1 mg/kg) injected i.v. 5 min before occlusion increased the coronary blood flow and decreased systemic aortic pressure. When the LAD was ligated, the levels of creatine phosphate, ATP, total adenine nucleotides, and energy charge potential decreased in the ischemic myocardium. Three min after ischemia, MCI-176 (0.1 mg/kg) diminished these impairments of energy metabolism Even 30 min after ischemia, pretreatment with MCI-176 tended to lessen the depletion of ATP and total adenine nucleotides. Myocardial ischemia produced a breakdown of glycogen, and accumulation of lactate, and an inhibition of glycolytic flux through phosphofructokinase reaction. MCI-176 (0.1 mg/kg) reduced these alterations of carbohydrate metabolism after 3 min of ischemia. Thus, pretreatment with MCI-176 reduces the impairments of myocardial energy and carbohydrate metabolism in ischemic dog hearts, suggesting that the drug is capable of improving the imbalance between oxygn supply and demand in the ischemic myocardium.

IT **103315-31-7**, MCI-176
RL: BIOL (Biological study)
(heart carbohydrate energy metabolism in ischemia response. to)

RN 103315-31-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-[(2,5-dimethoxyphenyl)methyl]-3-[2-(dimethylamino)ethyl]-6-(1-methylethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 32 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:5979 CAPLUS

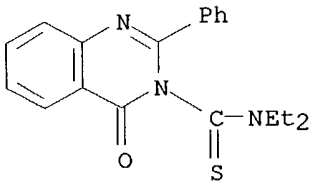
10/ 089,166

DOCUMENT NUMBER: 114:5979
TITLE: Synthesis, coordination chemistry and mass spectrometric fragmentation of new N-(thiocarbamoyl)benzamidines
AUTHOR(S): Hartung, J.; Weber, G.; Beyer, L.; Kirmse, K.; Stach, J.
CORPORATE SOURCE: Sekt. Naturwiss., Tech. Hochsch. Leipzig, Leipzig, DDR-7030, Ger. Dem. Rep.
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1990), 332(3), 359-66
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 114:5979

AB Syntheses of N-(thiocarbamoyl)benzamidines R2NCSN:CPhNHC6H4R1 (R = Et, R2N = morpholino, R1 = 2-, 3-, 4-CO2H, 2-, 3-, 4-CO2Et, 2-, 3-, 4-CH:CHCO2Et) from benzimidoyl chlorides and aromatic amino acids as starting materials are reported. The prepared compds. were used as ligands for complexing nickel(II) and copper(II) ions. The benzamidines were characterized by mass spectrometric methods. The fragmentation pattern of the benzamidines were derived from the corresponding MIKE spectra.

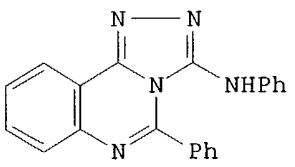
IT **130750-18-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(MIKE spectrum of daughter ion from)

RN 130750-18-4 CAPLUS
CN 3(4H)-Quinazolinecarbothioamide, N,N-diethyl-4-oxo-2-phenyl-, radical ion(1+) (9CI) (CA INDEX NAME)

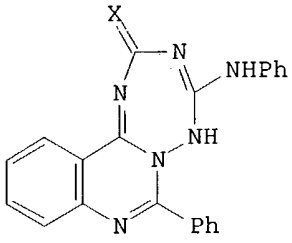


L6 ANSWER 33 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:20971 CAPLUS
DOCUMENT NUMBER: 112:20971
TITLE: Synthesis of some new 3-substituted 4(3H)-quinazolinone and 4(3H)-quinazolinethione derivatives and related fused biheterocyclic ring systems
AUTHOR(S): Abdel-Megeed, Mohamed F.; Teniou, A.
CORPORATE SOURCE: Fac. Sci., Tanta Univ., Tanta, Egypt
SOURCE: Revue Roumaine de Chimie (1988), 33(11-12), 981-6
CODEN: RRCHAX; ISSN: 0035-3930
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:20971
GI

10/ 089,166



I



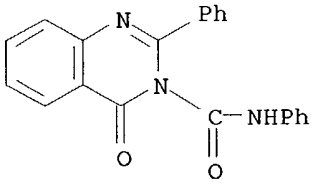
II

AB Reaction of 2-phenyl- and 3-amino-2-phenyl-1(3H)-quinazolin-4-one and the corresponding thiones with PhNCO or PhNCS was studied. The resulting urea and thiourea quinazolinone or quinazolinonethione derivs. reacted with N2H4.H2O, PhNHNH2, urea, or thiourea to form fused heterobicyclic ring systems [e.g., I or II (X = O, S)] with potential biol. activities. The products were identified by IR, 1H NMR, and mass spectroscopy.

IT **115765-01-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation reactions of, fused heterobicyclic compds. from)

RN 115765-01-0 CAPLUS

CN 3(4H)-Quinazolinecarboxamide, 4-oxo-N,2-diphenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 34 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:400436 CAPLUS

DOCUMENT NUMBER: 111:436

TITLE: Effect of MCI-176, a new calcium channel blocker, on large and small coronary arteries in dogs

AUTHOR(S): Ishibashi, Takaharu; Nakazawa, Mikio; Imai, Shoichi

CORPORATE SOURCE: Sch. Med., Niigata Univ., Niigata, Japan

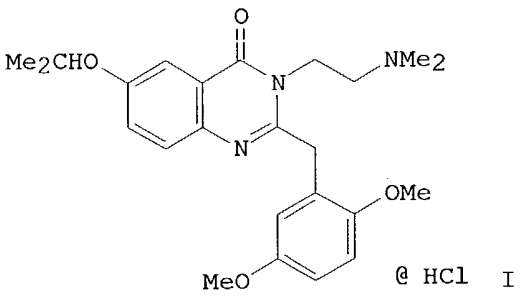
SOURCE: Cardiovascular Research (1989), 23(4), 295-302
CODEN: CVREAU; ISSN: 0008-6363

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

10/ 089,166



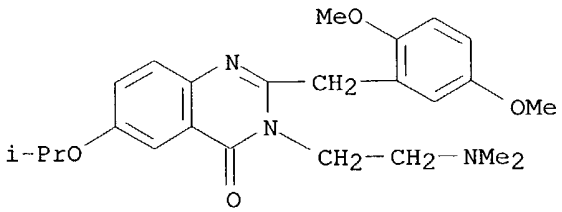
I

AB MCI-176 (I), a new calcium channel blocker, increases coronary blood flow and may improve perfusion in ischemic areas. Its vasodilating effects on large conductive coronary arteries and the resistive arterioles were therefore compared with those of diltiazem, nifedipine, glyceryl trinitrate and adenosine in anesthetized open chest beagle dogs. Intracoronary injection of these compds. caused dose-dependent increases in coronary flow associated with decreases in the resistance of resistive arterioles, and the rank order of potency was nifedipine > adenosine > I > diltiazem > glyceryl trinitrate. The resistance of the large conductive vessels was likewise reduced by these agents, except for adenosine. Glyceryl trinitrate showed the highest selectivity to the large conductive vessels, while adenosine showed the lowest and calcium channel blockers were intermediate. Among three calcium channel blockers, I had the highest selectivity to the large conductive vessels, while the duration of action was the longest with diltiazem; I the duration of action of MCI-176 was intermediate. Thus, I is a coronary vasodilator, the potency of which is intermediate between nifedipine and diltiazem, but it has the highest selectivity to the large conductive vessels among these three compds.

IT **103315-31-7**, MCI-176
RL: BIOL (Biological study)
(vasodilation by, on large and small coronary arteries)

RN 103315-31-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-[(2,5-dimethoxyphenyl)methyl]-3-[2-(dimethylamino)ethyl]-6-(1-methylethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 35 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

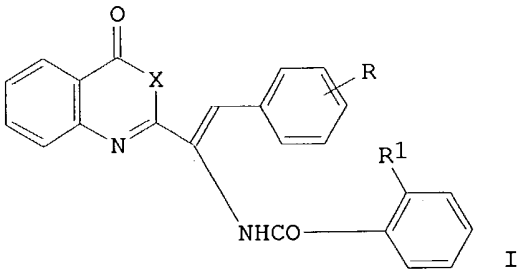
ACCESSION NUMBER: 1989:212760 CAPLUS

DOCUMENT NUMBER: 110:212760

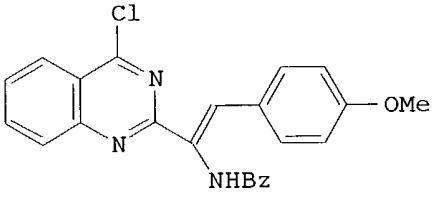
TITLE: Synthesis and reactions of substituted benzoxazinones bearing a bulky group at position-2

10/ 089,166

AUTHOR(S): Afify, A. A.; El-Nagdy, S.; Sayed, M. A.; Mohey, I.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(10), 920-25
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:212760
GI



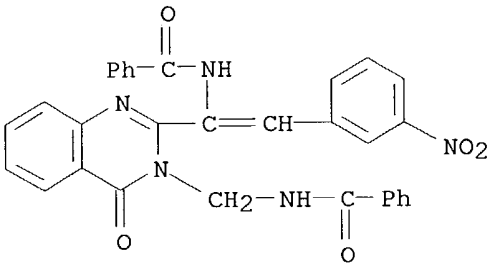
I



II

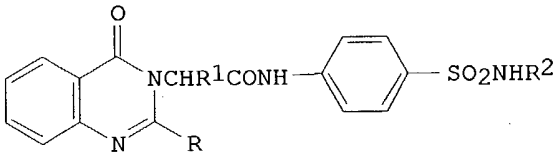
AB 3,1-Benzoxazin-4(H)-ones I (X = O; R = 4-OMe, 3-NO2; R1 = H, Cl) have been synthesized by the reaction of 2-H2NC6H4CO2H with 4-arylidene-2-phenyl-5(4H)-oxazolones. Aminolysis of II gives (β-benzamido-p-methoxystyryl)-N-substituted-benzamides. Hydrazinolysis of I affords N-substituted anthranilic acid hydrazines. Ammonolysis of I furnishes 2-substituted 4(3H)-quinazolinones I (X = NH). Treatment of I (X = NH, R = 4-OMe, R1 = H) with B3Cl affords its 3-benzoyl derivative and with PCl5-POCl3 it gives the 4-chloroquinazoline II. Mannich reaction on I (X = NH, R = 3-NO2, R1 = H) with different bases gives I (X = NCH2R2; R2 = NHBz, phthalimido, succinimido). II on reaction with acylhydrazides, NaN3, alkylating agents and amino acids affords s-triazolo[4,3-c]quinazolines, tetrazolo[1,5-c]quinazolines, 2,4-disubstituted quinazolines and 2-substituted 4-(carboxyalkylamino)quinazolines, resp.

IT **120572-12-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 120572-12-5 CAPLUS
CN Benzamide, N-[1-[3-[(benzoylamino)methyl]-3,4-dihydro-4-oxo-2-quinazolinyl]-2-(3-nitrophenyl)ethenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 36 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:135175 CAPLUS
DOCUMENT NUMBER: 110:135175
TITLE: Synthesis of some new sulfonamides containing a quinazolinone moiety of biological interest
AUTHOR(S): Yanni, A. S.; Abd-Alla, M. A.; El-Timauy, A. A.
CORPORATE SOURCE: Fac. Sci., Assiut Univ., Assiut, Egypt
SOURCE: Bulletin of the Faculty of Science, Assiut University (1987), 16(1), 55-9
CODEN: BSAUDW; ISSN: 0366-4740
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



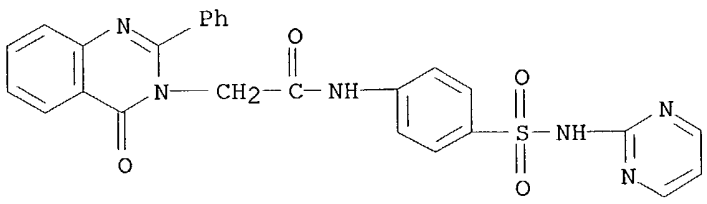
I

AB A new series of sulfonamides I (R = Ph, 2-ClC6H4; R1 = H, Me, MeSCH2, Me2CH; R2 = 2-pyrimidinyl, 3,5-dimethyl-2-pyrimidinyl, 2-pyridyl, 2-thiazolyl) was prepared through interaction of 2-aryl-3-carbohydroxyalkyl-3, 4-dihydroquinazoline-4-ones with excess SOCl2, followed by treatment with certain sulfa drugs. I were tested for antibacterial activity.

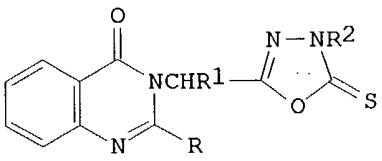
IT **119523-48-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 119523-48-7 CAPLUS

CN 3(4H)-Quinazolineacetamide, 4-oxo-2-phenyl-N-[4-[(2-pyrimidinylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 37 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:114785 CAPLUS
DOCUMENT NUMBER: 110:114785
TITLE: Synthesis and antibacterial activity of some quinazolin-containing oxadiazolin-5-thione moieties
AUTHOR(S): Ahmed, Abd El Hamid N.; Abd-Alla, Mohamed A.; El-Zohry, Maher F.
CORPORATE SOURCE: Fac. Pharm., Assiut Univ., Assiut, Egypt
SOURCE: Journal of Chemical Technology and Biotechnology (1988), 43(1), 63-70
CODEN: JCTBED; ISSN: 0268-2575
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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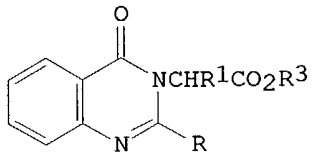
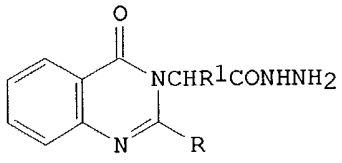


II

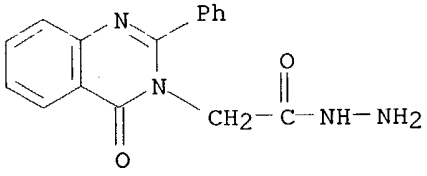


III

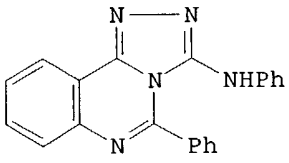
AB Quinazolin-4-ones I (R = Ph, 2-ClC6H4; R1 = H, Me; R2 = H, Et2NCH2, morpholinomethyl, piperidinomethyl) containing oxadiazolin-5-thione moieties were synthesized and evaluated for their antibacterial activity. Esterification of substituted phenylcarboxyalkyl-methyldihydroquinazolinones II (R, R1 = as above, R3 = H) with absolute EtOH in the presence of H2SO4 afforded II (R3 = Et) which were treated with H2NNH2 in EtOH to give the acid hydrazides III. Refluxing III with equimolar amts. of KOH and slight excess of CS2 afforded I (R, R1 = as above; R2 = H). The latter compds. underwent Mannich reaction with secondary amines to give I (R, R1 = as above; R2 = Et2NCH2, morpholinomethyl, piperidinomethyl). Microanal., IR, NMR spectra were used to elucidate the structures of the newly synthesized compds. All the designed compds. were tested for their antibacterial activity. The morpholino derivs. showed encouraging antibacterial activity.

IT **72737-95-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with carbon disulfide)
RN 72737-95-2 CAPLUS
CN 3(4H)-Quinazolineacetic acid, 4-oxo-2-phenyl-, hydrazide (9CI) (CA INDEX NAME)

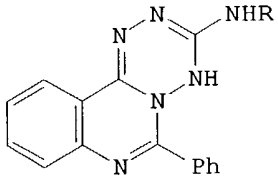
10/ 089,166



L6 ANSWER 38 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:570399 CAPLUS
DOCUMENT NUMBER: 109:170399
TITLE: Synthesis of some 3-substituted 4(3H)-quinazolinone and 4(3H)-quinazolinethione derivatives and related fused biheterocyclic ring systems
AUTHOR(S): Abdel-Megeed, Mohamed Farghali; Teniou, Abderrahman
CORPORATE SOURCE: Fac. Sci., Tanta Univ., Tanta, Egypt
SOURCE: Collection of Czechoslovak Chemical Communications (1988), 53(2), 329-35
CODEN: CCCCAK; ISSN: 0366-547X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:170399
GI



I

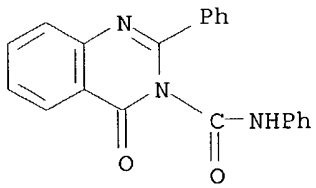


II

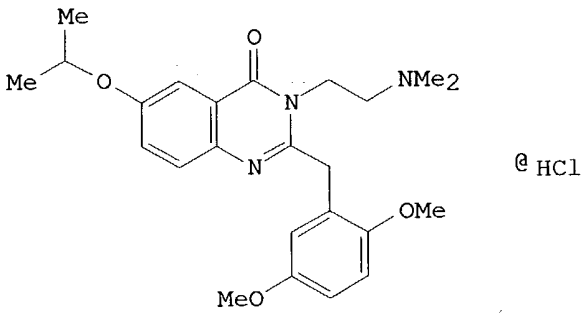
AB The reactions of 2-phenyl-4(3H)-quinazolinone, 2-phenyl-3-amino-4(3H)-quinazolinone, and their thiones with Ph isocyanate or Ph isothiocyanate were investigated. The resulting urea and thiourea derivs. of quinazolinone or quinazolinethione reacted with hydrazine hydrate, phenylhydrazine, and urea or thiourea to form fused biheterocyclic ring systems, e.g. I and II (R = H, Me), with potential biol. activities.

IT **115765-01-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydrazine or phenylhydrazine)

RN 115765-01-0 CAPLUS
CN 3(4H)-Quinazolinecarboxamide, 4-oxo-N,2-diphenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 39 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:400476 CAPLUS
DOCUMENT NUMBER: 109:476
TITLE: MCI-176, a novel calcium channel blocker, attenuates the ischemic myocardial acidosis induced by coronary artery occlusion in dogs
AUTHOR(S): Hara, Yuji; Ichihara, Kazuo; Abiko, Yasushi
CORPORATE SOURCE: Dep. Pharmacol., Asahikawa Med. Coll., Asahikawa, 078, Japan
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1988), 245(1), 305-10
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



@ HCl

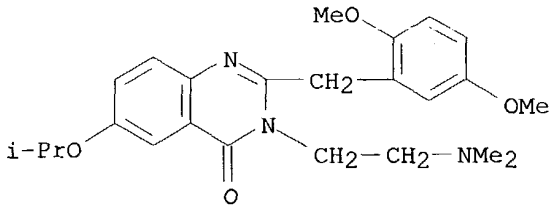
I

AB The effect of MCI-176 (I), a novel Ca channel blocker, on ischemic myocardial acidosis was studied in the dog heart, in which the left anterior descending coronary artery was partially occluded for 90 min. Myocardial pH was about 7.60 in the nonischemic normal heart. The myocardial pH decreased rapidly in response to partial occlusion, and reached the steady state of about 6.85 within 30 min. Saline or drug was injected i.v. 30 min after partial occlusion, and the drug effect was observed till the end of partial occlusion. Myocardial [H+], that had been increased by partial occlusion, restored slightly after the saline injection, and the restoration was about 30% 60 min after the injection. MCI-176 increased this spontaneous restoration of myocardial [H+] with a decrease in blood pressure and heart rate. The restoration induced by 0.1 mg/kg of MCI-176 was 74% 60 min after the injection. Even in the paced heart, MCI-176 (0.1 mg/kg) attenuated the ischemia-induced myocardial acidosis. Propranolol (1 mg/kg) also attenuated the myocardial acidosis, the restoration being 82%. These results indicate that MCI-176 attenuates the myocardial acidosis during ischemia as does propranolol, and that the mechanism of action of MCI-176 is not due primarily to a decrease in heart rate.

IT **103315-31-7**, MCI-176
RL: BIOL (Biological study)
(ischemic myocardial acidosis treatment with)

RN 103315-31-7 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(2,5-dimethoxyphenyl)methyl]-3-[2-(dimethylamino)ethyl]-6-(1-methylethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

10/ 089,166



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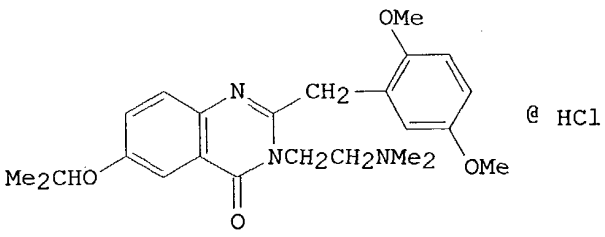
L6 ANSWER 40 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1988:124221 CAPLUS
DOCUMENT NUMBER:	108:124221
TITLE:	Voltage - and use-dependent block of the inward calcium current by MCI-176, a new non-dihydropyridine calcium antagonist, in canine ventricular muscles and single ventricular cells of the guinea pig
AUTHOR(S):	Iijima, Toshihiko; Takahashi, Kenzo; Taira, Norio
CORPORATE SOURCE:	Sch. Med., Tohoku Univ., Sendai, 980, Japan
SOURCE:	Japanese Journal of Pharmacology (1988), 46(2), 155-64 CODEN: JJPAAZ; ISSN: 0021-5198
DOCUMENT TYPE:	Journal
LANGUAGE:	English

GI

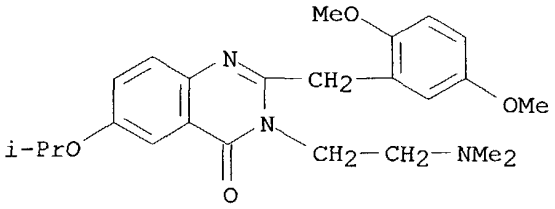


I

AB The effects of MCI-176 (I) on action potentials of canine ventricular muscles and on membrane currents of single ventricular cells of the guinea pig heart were studied with the microelectrode and the patch-clamp ("whole-cell recording") methods. In canine ventricular trabeculae, MCl-176 (10-5-10-4 M) decreased the plateau potential, the action potential duration at 30%-repolarization and the maximum rate of rise of the action potential; it also decreased the amplitude and the duration of the slow response action potential in a concentration-dependent manner. Those effects were much more apparent at higher stimulus frequency. Under voltage clamp condition of single ventricular cells of the guinea pig heart, MCI-176 (3 + 10-5 M) decreased the inward calcium current (ICa) by 25-30% when the membrane potential was held at the resting membrane potential, and the drug abolished it when the membrane potential was held at -30 mV. MCI-176 added at rest decreased ICa (initial block) and reduced it further with repetitive depolarizations in a beat-to-beat fashion. MCI-176 facilitated the reduction of ICa by increasing the clamp pulse frequency. Apparently, MCI-176 decreases ICa of mammalian ventricular muscles in a voltage- and use-dependent manner.

10/ 089,166

IT **103315-31-7**, MCI-176
RL: BIOL (Biological study)
(inward calcium current blockade by, in heart, voltage and use dependency of)
RN 103315-31-7 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(2,5-dimethoxyphenyl)methyl]-3-[2-(dimethylamino)ethyl]-6-(1-methylethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



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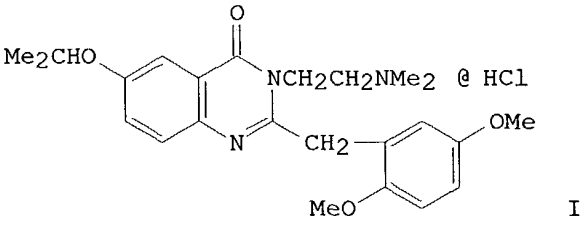
L6 ANSWER 41 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:470496 CAPLUS
DOCUMENT NUMBER: 107:70496
TITLE: Coronary vasodilator versus cardiac effects of MCI-176, a novel quinazolinone calcium antagonist, in the dog heart
AUTHOR(S): Hosono, Makoto; Taira, Norio
CORPORATE SOURCE: Sch. Med., Tohoku Univ., Sendai, Japan
SOURCE: Journal of Cardiovascular Pharmacology (1987), 9(6), 633-40
CODEN: JCPCDT; ISSN: 0160-2446
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

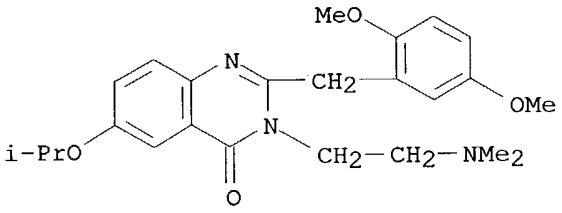
AB The coronary vasodilator and cardiac effects of MCI-176 (I), a novel quinazolinone calcium antagonist, were compared in isolated, blood-perfused sinoatrial (SA) node, atrioventricular (AV) node, and papillary muscle prepns. of dogs. The drug was administered intraarterially. In SA node prepns. MCI-176 reduced sinus rate and produced atrial standstill in large doses. In AV node prepns. MCI-176 prolonged AV conduction time and produced second- or third-degree AV block in large doses only when administered into the artery supplying the AV node, but failed to affect AV conduction when administered into the artery

supplying the His-Purkinje-ventricular system. In paced papillary muscle prepns, MCI-176 reduced the force of contraction. In spontaneously beating papillary muscles, MCI-176 failed to change the beating rate. MCI-176 increased blood flow in all prepns. The dose that doubled blood flow was slightly larger than the dose that produced a 15% increase in AV conduction time, but about one-third the dose that produced a 15% decrease in sinus rate. The dose estimated to reduce the force of contraction by half was >10-fold the dose that doubled blood flow. Thus, MCI-176 can be classified as a nonvasoselective Ca2+ antagonist but it differs from other Ca2+ antagonists.

IT **103315-31-7**, MCI-176
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(coronary vasodilator effects and heart response to)

RN 103315-31-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-[(2,5-dimethoxyphenyl)methyl]-3-[2-(dimethylamino)ethyl]-6-(1-methylethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 42 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:113334 CAPLUS

DOCUMENT NUMBER: 106:113334

TITLE: Effect of MCI-176, a new calcium antagonist, on the calcium-induced contraction of isolated porcine coronary arteries

AUTHOR(S): Ishibashi, Akira; Horii, Daijiro

CORPORATE SOURCE: Res. Cent., Mitsubishi Chem. Ind. Ltd., Ibaraki, 300-03, Japan

SOURCE: Japanese Journal of Pharmacology (1987), 43(2), 234-6
CODEN: JJPAAZ; ISSN: 0021-5198

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

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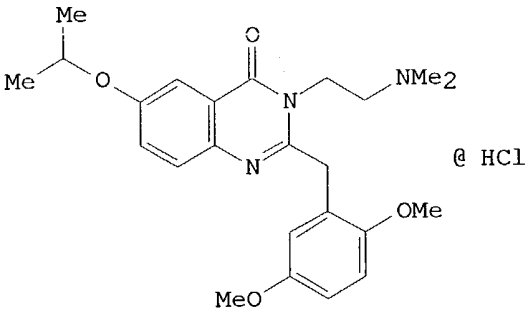


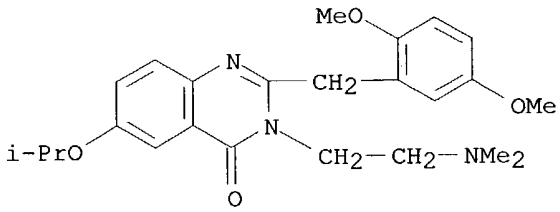
I

AB The Ca2+ antagonistic activity of MCI-176 (I) [**103315-31-7**], a new Ca2+ antagonist, was compared with those of diltiazem and nifedipine in isolated depolarized porcine coronary arteries. MCI-176, diltiazem, and nifedipine competitively inhibited Ca2+ contraction of the large coronary arteries, and their pA2 values were 7.49, 6.89, and 9.55, resp. Similar competitive inhibition by MCI-176, diltiazem, and nifedipine of Ca2+ contraction was also observed in the small coronary arteries, and their pA2 values were 7.38, 6.83, and 9.91, resp. Although Ca2+ antagonistic activity of nifedipine was several hundreds times more potent than MCI-176 and diltiazem, the action of nifedipine, unlike MCI-176 and diltiazem, favored the small coronary arteries rather than the large coronary arteries.

IT **103315-31-7**, MCI-176
RL: BIOL (Biological study)
(calcium-induced contraction of coronary arteries inhibition by)

RN 103315-31-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-[(2,5-dimethoxyphenyl)methyl]-3-[2-(dimethylamino)ethyl]-6-(1-methylethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 43 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:403 CAPLUS

DOCUMENT NUMBER: 106:403

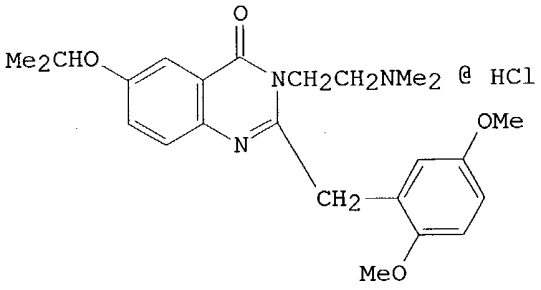
TITLE: Coronary dilator effect of MCI-176, a new calcium channel blocker, in dogs

AUTHOR(S): Horii, Daijiro; Ishibashi, Akira

CORPORATE SOURCE: Res. Cent., Mitsubishi Chem. Ind. Co. Ltd., 300-03, Japan

SOURCE: Tohoku Journal of Experimental Medicine (1986), 150(1), 101-2

CODEN: TJEMAO; ISSN: 0040-8727
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



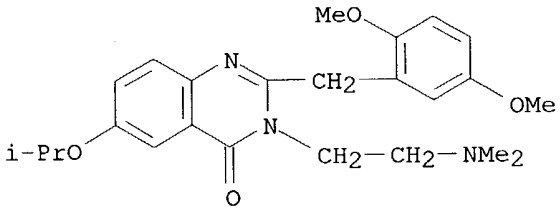
I

AB Effects of MCI 176 (2-(2,5-dimethoxyphenylmethyl)-3-(2-dimethylaminoethyl)-6-isopropoxy-4-(3H)quinazolinone hydrochloride)(I) [**103315-31-7**], on coronary and aortic blood flows, mean blood pressure and heart rate were investigated in comparison with those of diltiazem in anesthetized dogs. MCI 176, like diltiazem, dose-dependently increased coronary and aortic blood flows and decreased mean blood pressure. In producing these effect MCI 176 was slightly but significantly more potent than diltiazem. Heart rate tended to increase with MCI 176, whereas it tended to decrease with diltiazem.

IT **103315-31-7**
RL: PRP (Properties)
(coronary dilator and hemodynamic effects of)

RN 103315-31-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-[(2,5-dimethoxyphenyl)methyl]-3-[2-(dimethylamino)ethyl]-6-(1-methylethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 44 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:460629 CAPLUS
DOCUMENT NUMBER: 105:60629
TITLE: 2-Phenylalkyl-3-aminoalkyl-4(3H)-quinazolinones, pharmaceutical compositions and use
INVENTOR(S): Sekiya, Tetsuo; Tsutsui, Mikio; Horii, Daijiro; Ishibashi, Akira
PATENT ASSIGNEE(S): Mitsubishi Yuka Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 58 pp.

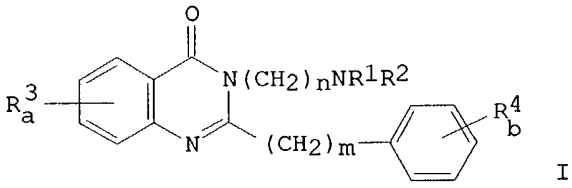
10/ 089,166

CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 169537	A2	19860129	EP 1985-109193	19850723
EP 169537	A3	19870325		
EP 169537	B1	19900103		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 61036273	A2	19860220	JP 1984-154086	19840726
US 4668682	A	19870526	US 1985-753708	19850710
CA 1266266	A1	19900227	CA 1985-486793	19850715
AT 49199	E	19900115	AT 1985-109193	19850723
DK 8503396	A	19860127	DK 1985-3396	19850725
HU 39166	A2	19860828	HU 1985-2850	19850726
HU 194836	B	19880328		

PRIORITY APPLN. INFO.: JP 1984-154086 19840726
EP 1985-109193 19850723

OTHER SOURCE(S): CASREACT 105:60629
GI

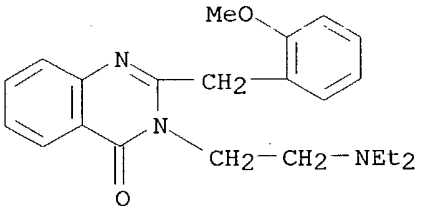


I

AB The title compds. I (R1 = H, C1-5 alkyl; R2 = C1-5 alkyl, (substituted) aralkyl; R3 = C1-5 alkyl or alkoxy, PhO, PhCH2O, HO, halogen; R4 = C1-5 alkyl or alkoxy, PhCH2O, NO2, halogen; R1NR2 may form a ring; a = 0-3; b = 1-3; m, n = 1-5) and their salts are Ca2+ antagonists, vasodilators, and antagonists, vasodilators, and antihypertensives. For example, I (R1 = Me; R2 = 3,4-dimethoxyphenylethyl; R3 = 6-isopropoxy; R4 = 2,5-dimethoxy; m = 1; n = 2) (II) at ≥ 0.03 μM inhibited the contraction of rat aortic strips induced by 10 mM Ca2+ in the presence of 80 mM K+. II at 0.1 mg/kg i.v. increased the rate of coronary blood flow in dogs by 53.6%. II was prepared by condensation of the corresponding 2,6-disubstituted 4H-3,1-benzoxazin-4-one with 2-[N-(3,4-dimethoxyphenylethyl)-N-methylamino]ethylamine.

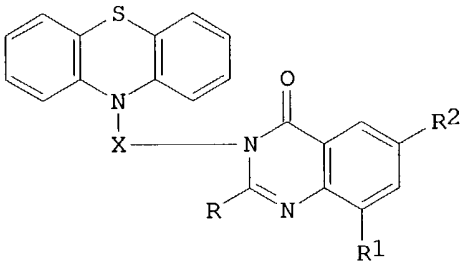
IT **103314-84-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypertensive and vasodilator)

RN 103314-84-7 CAPLUS
CN 4(3H)-Quinazolinone, 3-[2-(diethylamino)ethyl]-2-[(2-methoxyphenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 45 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:126022 CAPLUS
DOCUMENT NUMBER: 98:126022
TITLE: Search for new anthelmintics. Part VI. Synthesis of phenothiazine derivatives and quinazolylpyridines with their quaternary salts
AUTHOR(S): Tiwari, S. S.; Pandey, M. P.
CORPORATE SOURCE: Dep. Chem., Lucknow Univ., Lucknow, 226007, India
SOURCE: Acta Ciencia Indica, Chemistry (1982), 8(3), 142-7
CODEN: ACICDV; ISSN: 0253-7338
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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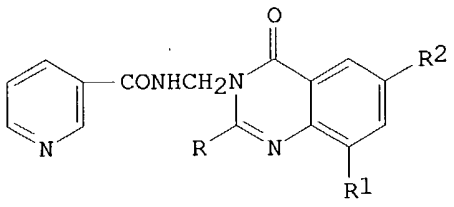
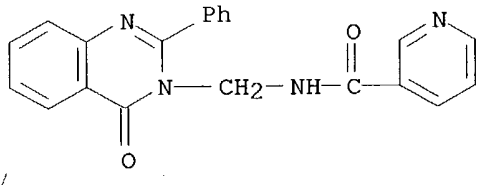


II

AB Phenothiazines I [R = H, Me, R1 = R2 = H; R = Ph, R1 = R2 = Br; X = COCH2, CH2] were prepared in 40-60% yields by treatment of N-(chloroacetyl)phenothiazine with a quinazolinone derivative and by aminomethylation of phenothiazine with a quinazolinone derivative Aminomethylation of quinazolinones by nicotinamide and CH2O gave 40-60% II (R = H, Ph, Me, 3-pyridyl, R1 = H, Br, Cl, R2 = H, iodo, Br, Cl) which on treatment with PhAc and iodine gave quaternary pyridine derivs. The phenothiazines showed significant activity against rat hookwrms at 250 mg/kg.

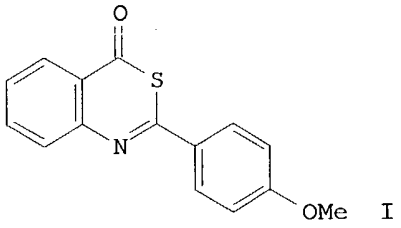
10/ 089,166

IT **85060-62-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and quaternization of)
RN 85060-62-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[(4-oxo-2-phenyl-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 46 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:126006 CAPLUS
DOCUMENT NUMBER: 98:126006
TITLE: Synthesis of 4(3H)-quinazolinones from derivatives of methyl 2-isothiocyanatobenzoate
AUTHOR(S): Dean, William D.; Papadopoulos, Eleftherios P.
CORPORATE SOURCE: Dep. Chem., Univ. New Mexico, Albuquerque, NM, 87131, USA
SOURCE: Journal of Heterocyclic Chemistry (1982), 19(5), 1117-24
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 98:126006
GI

10/ 089,166



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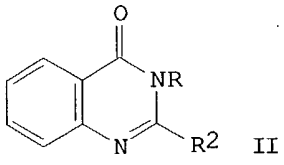
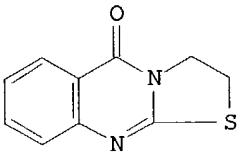
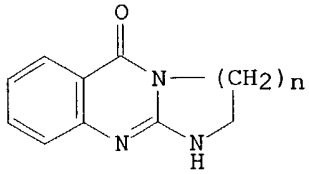


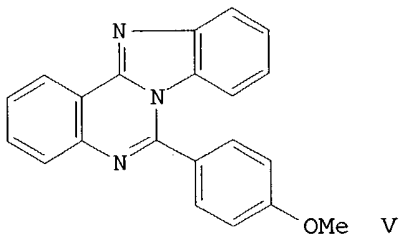
II



III



IV



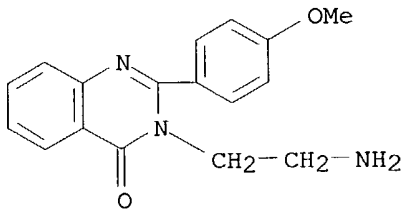
V

AB 2-MeO2CC6H4NHC(S)OEt, 2-EtO2CC6H4NHC(S)C6H4OMe-4, and I cyclocondensed with nucleophilic amines RNH2 [R = H, OH, NH2, NHMe, NHPh, Bu, Ph, PhCH2, (CH2)nR1; R1 = OH, SH, NH2, NHAc, NHCONHPh; n = 2,3] to give quinazolinones II (R2 = OEt, C6H4OMe-4). Condensed quinazolines III, IV (n = 2,3), and V were similarly prepared

IT **85094-72-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with Ph isocyanate)

RN 85094-72-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-(2-aminoethyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 47 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1983:107245 CAPLUS

DOCUMENT NUMBER: 98:107245

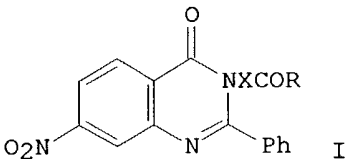
TITLE: Synthesis of some new 7-nitro-2-phenyl-3-(3-arylureido-1-carbonylalkyl)-4(3H)-quinazolones as potential antiviral agents

AUTHOR(S): Mukerji, D. D.; Shukla, S. K.; Agnihotri, A. K.;

10/ 089,166

	Nautiyal, S. R.
CORPORATE SOURCE:	Dep. Chem., Lucknow Univ., Lucknow, 226 007, India
SOURCE:	Current Science (1982), 51(22), 1060-3
	CODEN: CUSCAM; ISSN: 0011-3891
DOCUMENT TYPE:	Journal
LANGUAGE:	English

GI



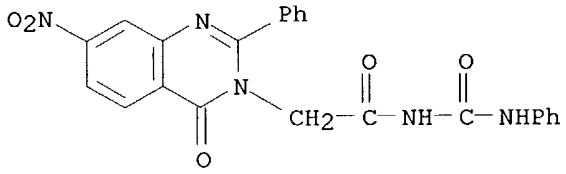
I

AB 7-Nitro-2-phenyl-1,3-benzoxazin-4-one was treated with amino acids to give the quinazolines I [R = HO, X = CH2, MeCH, (CH2)3, Me2CHCH2CH] which were treated with SOCl2 followed by reaction with 4-R2C6H4NHCONH2 (R2 = H, Me, Cl) to give the title compds. I (R = 4-R1C6H4NHCONH). Most I had both in vivo and in vitro virucidal activity against ranikhet disease virus and sunnhemp rosette virus.

IT **84899-87-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and virucidal activity of)

RN 84899-87-6 CAPLUS

CN 3(4H)-Quinazolineacetamide, 7-nitro-4-oxo-2-phenyl-N-[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 48 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

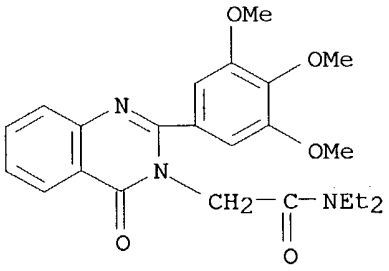
ACCESSION NUMBER:	1983:53808 CAPLUS
DOCUMENT NUMBER:	98:53808
TITLE:	2-Alkyl-2-[4(3H)-oxo-2-(3,4,5-trimethoxyphenyl)-3-quinazolyl]ethanoic acids and their amides as anticonvulsant agents
AUTHOR(S):	Husain, M. I.; Srivastava, G. C.; Dua, P. R.
CORPORATE SOURCE:	Chem. Dep., Lucknow Univ., Lucknow, 226 007, India
SOURCE:	Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1982), 21B(4), 381-3
	CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 98:53808

AB Several 2-alkyl-2-[4(3H)-oxo-2-(3,4,5-trimethoxyphenyl)-3-

quinazolyl]ethanoic acids and their amides with HNEt2, morpholine, piperidine, pyrrolidine and piperazines have been prepared Twenty six of them have been assayed for their anticonvulsant activity in mice at 1/5 ALD50 dose level against supramaximal electroshock and pentylenetetrazole-induced seizures. Some of the compds. show mild activity against pentylenetetrazole-induced seizures.

IT **83408-95-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)

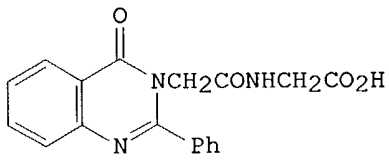
RN 83408-95-1 CAPLUS
CN 3(4H)-Quinazolineacetamide, N,N-diethyl-4-oxo-2-(3,4,5-trimethoxyphenyl)-(9CI) (CA INDEX NAME)



L6 ANSWER 49 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1982:488532 CAPLUS
DOCUMENT NUMBER:	97:88532
TITLE:	Amoebicidal and fungicidal activities of new quinazolones
AUTHOR(S):	Gupta, R. C.; Saxena, A. K.; Ahmad, S.; Shanker, K.; Kishor, K.
CORPORATE SOURCE:	Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, India
SOURCE:	Arzneimittel-Forschung (1982), 32(6), 598-600 CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE:	Journal
LANGUAGE:	English

GI



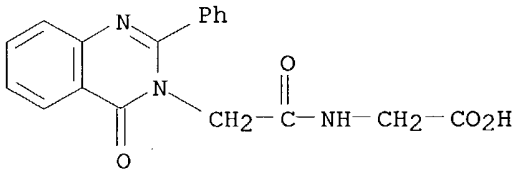
I

AB Eleven quinazolones were synthesized by condensing 2-phenylanthranil with a suitable peptide in pyridine. The compds. synthesized were characterized by their sharp m.ps., elemental anal., and IR spectra. The newly synthesized compds. were tested for their amebicidal and fungicidal activities. Some of the compds., especially 2-phenyl-3-(N-acetylamidoacetic acid)-4-quinazolone (I), showed promising results.

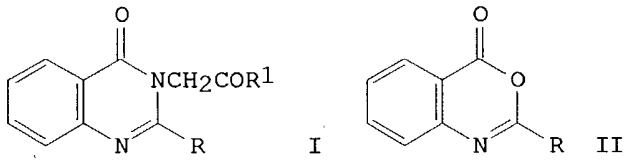
IT **81183-96-2**

10/ 089,166

RL: BIOL (Biological study)
(amebicidal and fungicidal activity of)
RN 81183-96-2 CAPLUS
CN Glycine, N-[(4-oxo-2-phenyl-3(4H)-quinazolinyl)acetyl]- (9CI) (CA INDEX NAME)



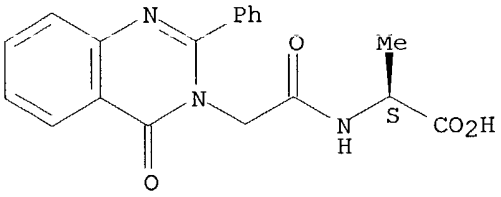
L6 ANSWER 50 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:143306 CAPLUS
DOCUMENT NUMBER: 96:143306
TITLE: Synthesis of peptides containing a quinazolin-4-one moiety
AUTHOR(S): El-Khawaga, Ahmed M.; Abd-Alla, Mohamed A.; Khalaf, Ali A.
CORPORATE SOURCE: Fac. Sci., Assiut Univ., Assiut, Egypt
SOURCE: Gazzetta Chimica Italiana (1981), 111(9-10), 441-2
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



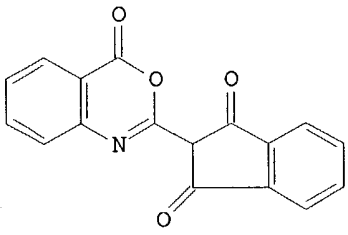
AB Eighteen title peptides I [R = Me, Ph, C6H4Cl-o-; R1 = NHCHR2CO2H (R2 = Me, CH2OH, CH(OH)Me, CH2SH, CH2CH2SMe, H)] were prepared by treating benzoxazinones II with glycine, treating the resulting quinazolinones I (R1 = OH) with SOCl2, and N-acylating H2NCHR2CO2H with the resulting acid chlorides.
IT **81183-83-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 81183-83-7 CAPLUS
CN L-Alanine, N-[(4-oxo-2-phenyl-3(4H)-quinazolinyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/ 089,166



L6 ANSWER 51 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:84914 CAPLUS
DOCUMENT NUMBER: 96:84914
TITLE: The absorption spectra of some 4(3H)-quinazolinones
AUTHOR(S): Anwar, M.
CORPORATE SOURCE: Fac. Sci., Tanta Univ., Tanta, Egypt
SOURCE: Pakistan Journal of Scientific and Industrial Research (1981), 24(1), 8-13
CODEN: PSIRAA; ISSN: 0030-9885
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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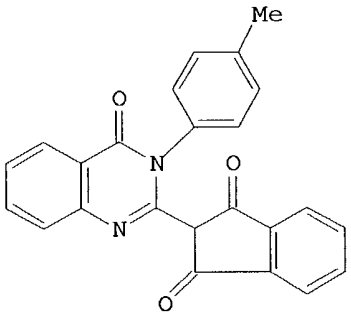
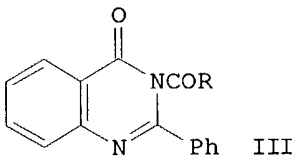


II

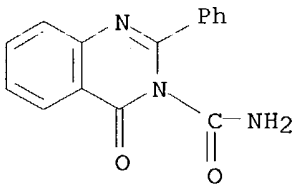


III

AB The UV and IR spectra of benzoxazinone I and of various 4(3H)-quinazolinones, e.g., II, III [R = HC:CHR1 [R1 = (un)substituted Ph, 2-furyl], N:CHR1 (same R1)] were recorded. UV bands lying near 300 nm were attributed to intermol. charge-transfer phenomena.

IT **80821-72-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aromatic aldehydes)

RN 80821-72-3 CAPLUS
CN 3(4H)-Quinazolinecarboxamide, 4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 52 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:408021 CAPLUS
DOCUMENT NUMBER: 95:8021
TITLE: Heat-resistant polyamides
PATENT ASSIGNEE(S): Mitsubishi Electric Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56002322	A2	19810112	JP 1979-79447	19790620
JP 60017375	B4	19850502		

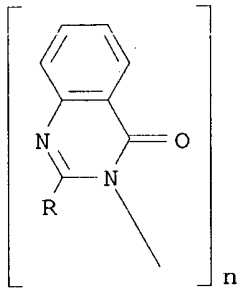
PRIORITY APPLN. INFO.: JP 1979-79447 19790620

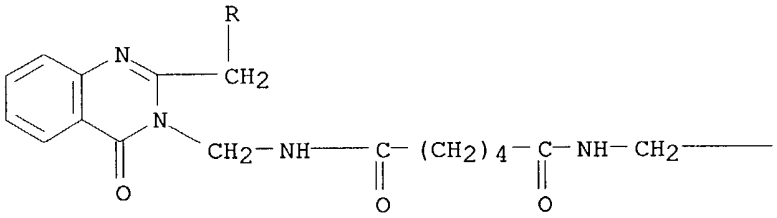
AB Bis(3-methylol-3,4-dihydro-4-quinazolinone) compds. and dinitriles are polymerized in acids to give polyamides having good heat resistance. Thus, a mixture of 2,2'-methylenebis(3-methylol-3,4-dihydro-4-quinazolinone) 3.64, concentrated H2SO4 18, and adiponitrile 1.08 g was stirred 8 h at 25° to give a copolymer (I) [77553-41-4] having intrinsic viscosity 0.58 (30°, Me2NAc) and soluble in m-cresol, Me2NAc, and N-methyl-2-pyrrolidinone. When a I film was heated in air at 10°/min, the film had initial weight loss temperature 300°, 10% weight loss temperature 405°, and 50% weight loss temperature 460°.

IT **77534-85-1P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(manufacture of, heat-resistant)

RN 77534-85-1 CAPLUS

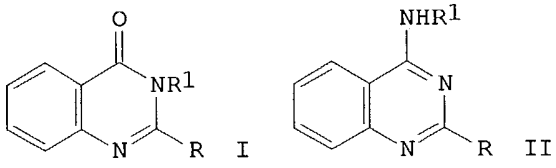
CN Poly[(4-oxo-3,2(4H)-quinazolinediyl)methylene(4-oxo-2,3(4H)-quinazolinediyl)methyleneimino(1,6-dioxo-1,6-hexanediyl)iminomethylene] (9CI) (CA INDEX NAME)





L6 ANSWER 53 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:208804 CAPLUS
DOCUMENT NUMBER: 94:208804
TITLE: Phosphoramides. XIII. Phosphorus pentoxide-amine hydrochloride mixtures as reagents in the synthesis of 4(3H)-quinazolinones and 4-quinazolinamines
AUTHOR(S): Nielsen, Knud Erik; Pedersen, Erik B.
CORPORATE SOURCE: Dep. Chem., Odense Univ., Odense, DK-5230, Den.
SOURCE: Acta Chemica Scandinavica, Series B: Organic Chemistry and Biochemistry (1980), B34(9), 637-42
CODEN: ACBOCV; ISSN: 0302-4369
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:208804
GI



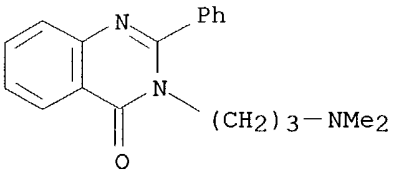
AB Quinazolinones I (R = Me, Ph, Pr; R1 = H, Me, Et, NH2, Pr, Bu, Me2CHCH2, EtCHMe) were prepared by heating o-MeO2CC6H4NHCOR and the R1NH.HCl with P2O5 and N,N-dimethylcyclohexylamine at 180 °. Quinazolinamines II and R1NHCR:NR1 were isolated as by-products. Carboxamides were believed to be reaction intermediates. By raising the temperature to 250 °, II was obtained in a preparative yield.

IT **77642-43-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

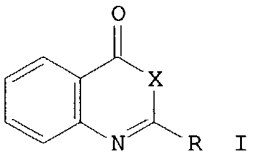
RN 77642-43-4 CAPLUS

10/ 089,166

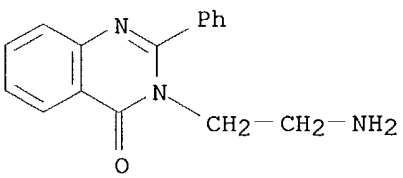
CN 4(3H)-Quinazolinone, 3-[3-(dimethylamino)propyl]-2-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 54 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:47259 CAPLUS
DOCUMENT NUMBER: 94:47259
TITLE: Synthesis of some new 2-aryl-3-hetaryl-4(3H)quinazolones
AUTHOR(S): Dash, B.; Dora, E. K.; Panda, C. S.
CORPORATE SOURCE: Dep. Chem., Berhampur Univ., Berhampur, 760 007, India
SOURCE: Journal of the Indian Chemical Society (1980), 57(8), 835-6
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:47259
GI



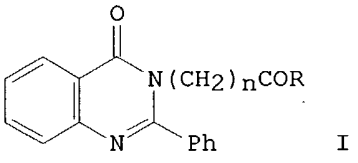
AB Benzoxazin-4-ones (I, X = O, R = Me, Ph, CH2Ph, C6H4NO2-4) were condensed with 2-amino hetaryls (pyridyl, pyrimidyl, thiazolyl), 1- and 2-aminoanthraquinones, p-aminoacetophenone and 1,2-diamines like ethylenediamine and o-phenylenediamine to give I (X = NR1).
IT **62838-20-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 62838-20-4 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-aminoethyl)-2-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 55 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

10/ 089,166

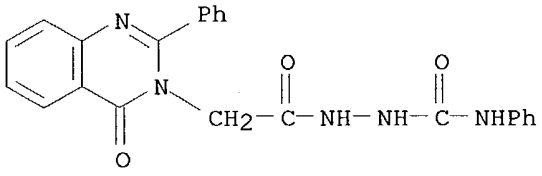
ACCESSION NUMBER: 1980:146711 CAPLUS
DOCUMENT NUMBER: 92:146711
TITLE: Synthesis of some 2-phenylquinazolin-4(3H)-one derivatives and their antibacterial and insecticidal activities
AUTHOR(S): Sen Gupta, Anil K.; Chandra, Umesh
CORPORATE SOURCE: Dep. Chem., Univ. Lucknow, Lucknow, 226 007, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1979), 18B(4), 382-4
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 92:146711
GI



I

AB Et 2-phenyl-4(3H)-oxoquinazoline-3-alkanoates I (n = 1, 2, R = OEt), 2-phenyl-4(3H)-oxoquinazoline-3-alkanoic acid hydrazides I (n = 1, 2, R = NHNH2), N1-[2-phenyl-4(3H)-oxoquinazolinyl-3-acyl]-N4-arylsemicarbazides I (n = 1, 2, R = NHNHCONHR1, R1 = Ph, Me, Pr, Bu) and N1-[2-phenyl-4(3H)-oxoquinazolinyl-3-acyl]-N4-arylthiosemicarbazides I (n = 1, 2, R = NHNHCSNHC6H4R2, R2 = H, 2-OMe, 4-OMe, 4-Me, 3-Me, 3-Cl, 4-Cl, 4-Br, 4-OEt) were prepared and tested for their antibacterial and insecticidal activities. Some of them show significant activities.

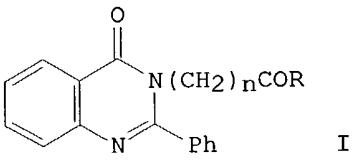
IT **73265-47-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bactericidal and insecticidal activity of)
RN 73265-47-1 CAPLUS
CN 3(4H)-Quinazolineacetic acid, 4-oxo-2-phenyl-, 2-[(phenylamino)carbonyl]hydrazide (9CI) (CA INDEX NAME)



L6 ANSWER 56 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:94342 CAPLUS
DOCUMENT NUMBER: 92:94342
TITLE: Synthesis and biological activity of some new N-3-(2-phenylquinazolin(3H)-4-one) acylhydrazones
AUTHOR(S): Sengupta, Anil K.; Chandra, Umesh
CORPORATE SOURCE: Dep. Chem., Univ. Lucknow, Lucknow, India
SOURCE: Journal of the Indian Chemical Society (1979), 56(6),

10/ 089,166

645-7
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



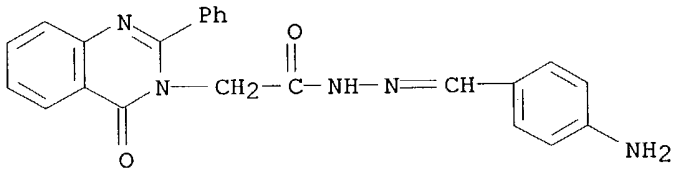
I

AB Hydrazones I (n = 1, 2; R = NHN:CHC6H4R1; R1 = 4-NH2, 3-NO2, 4-Cl, 4-NO2, 4-NMe2, H, 2-Cl, 2,4-Cl2, 2-OH-5-NO2, 4-NEt2, 2,4-(OMe)2, 4-OMe, 4-OH, 3-NMe2) were obtained by treating benzoxazinone with H2N(CH2)nCO2H, esterifying I (R = OH), treating I (R = OEt) with N2H4, and treating I (R = NHNH2) with R1C6H4CHO. I has bactericidal and insecticidal activity.

IT **72737-74-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bactericidal and insecticidal activity of)

RN 72737-74-7 CAPLUS

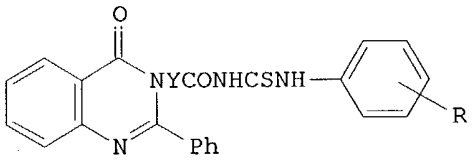
CN 3(4H)-Quinazolineacetic acid, 4-oxo-2-phenyl-, [(4-aminophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)



L6 ANSWER 57 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1979:604221 CAPLUS
DOCUMENT NUMBER: 91:204221
TITLE: Synthesis of N-aryl-N'-[2-phenyl-3-quinazolino(3H)-4-one]acylthiourea derivatives as anticonvulsants
AUTHOR(S): Misra, Vinay S.; Pandey, R. N.; Dua, P. R.
CORPORATE SOURCE: Dep. Chem., Lucknow Univ., Lucknow, 226006, India
SOURCE: Polish Journal of Pharmacology and Pharmacy (1979), 31(2), 161-7
CODEN: PJPPAA; ISSN: 0301-0244
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 91:204221
GI

10/ 089,166



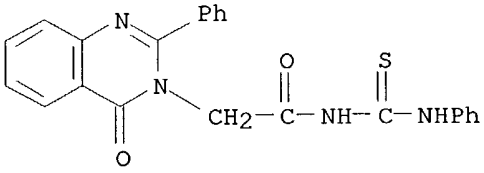
I

AB By the reaction of [2-phenyl-3-quinazolin(3H)-4-one]acyl isothiocyanates and appropriate aryl amines in acetone, 24 new compds. I (R = H or Me; Y = CH2, CH2CH2, or CH-alkyl) having a substituted thiourea grouping at the 3-position of the quinazolone moiety, were prepared All compds. except 2, showed different degrees of protection against pentetrazole induced seizures in mice. No definite pattern could be observed in the effect of structural variations in the 1-aryl moiety, but generally branching or lengthening of the 3-acyl chain either diminished or did not affect the activity.

IT **72045-60-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and anticonvulsant activity of)

RN 72045-60-4 CAPLUS

CN 3(4H)-Quinazolineacetamide, 4-oxo-2-phenyl-N-[(phenylamino)thioxomethyl]-(9CI) (CA INDEX NAME)



L6 ANSWER 58 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1979:186900 CAPLUS

DOCUMENT NUMBER: 90:186900

TITLE: Synthesis of quinazolone substituted amides and piperazonium salts of quinazolone-substituted acids as possible anticonvulsants

AUTHOR(S): Misra, Vinay S.; Pandey, R. N.; Dhawan, K. N.

CORPORATE SOURCE: Chem. Dep., Lucknow Univ., Lucknow, India

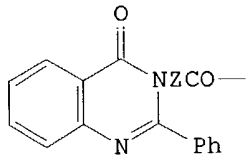
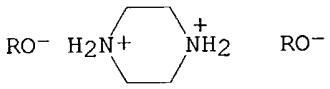
SOURCE: Journal of the Indian Chemical Society (1978), 55(10), 1046-8
CODEN: JICSAH; ISSN: 0019-4522

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 90:186900

GI

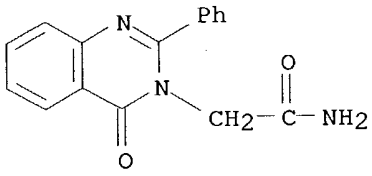
R=   I

AB RCONH2 [Z = CH2, CH2CH2, CHR1 (R1 = Me, iso-Pr, iso-Bu, PhCH2)] were prepared by amidating the corresponding ROH; piperazonium salts I were also prepared from ROH. RCONH2 and I were screened for anticonvulsant activity against pentylenetetrazol-induced seizures. Except for 2 amides, the rest of the compds. showed lower activity than the corresponding acids.

IT **70203-72-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and anticonvulsant activity of)

RN 70203-72-4 CAPLUS

CN 3(4H)-Quinazolineacetamide, 4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 59 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1978:563528 CAPLUS

DOCUMENT NUMBER: 89:163528

TITLE: Studies on 2,3-disubstituted-4-quinazolones and N-aroyl-N'-alkylanthranilimides

AUTHOR(S): El-Abbady, A. M.; Anwar, M.; Abdel-Hay, F. I.; Abdel-Megeed, M. F.

CORPORATE SOURCE: Fac. Sci., Tanta Univ., Tanta, Egypt

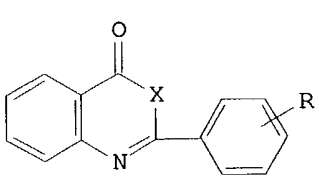
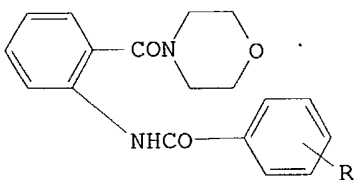
SOURCE: Egyptian Journal of Chemistry (1978), Volume Date 1975, 18(6), 1063-71
CODEN: EGJCA3; ISSN: 0367-0422

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 89:163528

GI

 I  II

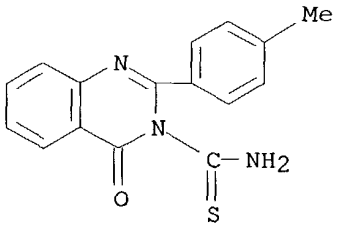
10/ 089,166

AB Quinazolinones I (X = NR1, R = 4-Me, 4-Cl; R1 = 4-MeOC6H4, 2-ClC6H4, 3-ClC6H4, 4-ClC6H4, 4-O2NC6H4, Ac, CSNH2, 1-naphthyl, 2-naphthyl, 4-MeC6H4) were obtained by treating I (X = O) with R1NH2. Reaction of I (X = O, R = H, 4-MeO, 2-Me, 4-Me, 4-Cl, 4-NO2) with R2NH2 (R2 = Bu, Pr, CH2Ph) gave o-(RC6H4CONH)C6H4CONHR2; morpholides II (R = H, 4-Me, 4-Cl) were similarly obtained. 2-BzNHC6H4CONHBu was cyclized to I (X = NBu, R = H).

IT **67796-02-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 67796-02-5 CAPLUS

CN 3(4H)-Quinazolinecarbothioamide, 2-(4-methylphenyl)-4-oxo- (9CI) (CA INDEX NAME)



L6 ANSWER 60 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1978:443323 CAPLUS

DOCUMENT NUMBER: 89:43323

TITLE: Possible antiparkinsonian compounds - part XII. Synthesis of some quinazolone derivatives

AUTHOR(S): Pandey, V. K.

CORPORATE SOURCE: Dep. Chem., Lucknow Univ., Lucknow, India

SOURCE: Journal of the Indian Chemical Society (1977), 54(11), 1084-6
CODEN: JICSAH; ISSN: 0019-4522

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 89:43323

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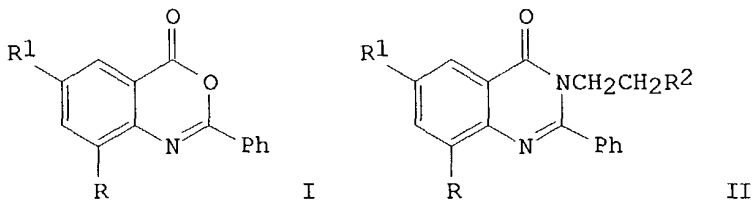


AB The benzoxazinones I (R = R1 = H, Br; R = Br, R1 = H) were treated with H2HCH2CH2OH to give the quinazolones II (R2 = OH), which condensed with compds. containing an active H to give II [R2 = PhCONH, phthalimido, succinimido, 2-hydroxy-1-naphthyl, o-HOC6H4, 3,4-(HO)2C6H3].

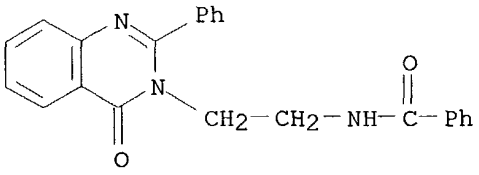
IT **67090-24-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 67090-24-8 CAPLUS

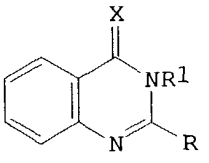
CN Benzamide, N-[2-(4-oxo-2-phenyl-3(4H)-quinazolinyl)ethyl]- (9CI) (CA

10/ 089,166

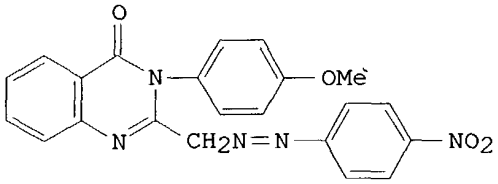
INDEX NAME)



L6 ANSWER 61 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:105257 CAPLUS
DOCUMENT NUMBER: 88:105257
TITLE: Some reactions of 2,3-disubstituted 4-quinazolones
AUTHOR(S): Zimaity, T.; Anwar, M.; Abdel-Megeed, M. F.
CORPORATE SOURCE: Fac. Sci., Mansoura Univ., Mansoura, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15(8), 750-1
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 88:105257
GI



I, X=O
II, X=S

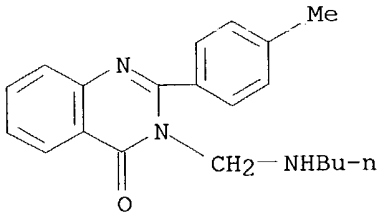


IV

AB Reactions of 2,3-disubstituted 4-quinazolones were studied. Treatment of I (R = Me, R1 = p-MeC6H4; R = Ph, R1 = p-ClC6H4, p-MeOC6H4) with P2S5 gave the corresponding 4-thioquinazolones II, but I (R = p-ClC6H4, p-MeC6H4; R1 = H) underwent condensation with HCHO and secondary amines such as morpholine and piperidine to give the corresponding 3-(aminomethyl)-4-quinazolones, e.g., I (R = p-MeC6H4, R1 = piperidinomethyl) (III). The reaction of III with BuNH2 gave I (R = p-MeC6H4, R1 = BuNHCH2). I (R = PhCH:CH, 3,4-methylenedioxystyryl; R1 = p-MeOC6H4) reacted with aryl amines in the presence of anhydrous ZnCl2 to give the corresponding anils. I (R = Me; R1 = p-MeC6H4, p-MeOC6H4) underwent coupling reaction with aryldiazonium chlorides to give azo derivs., e.g., IV.

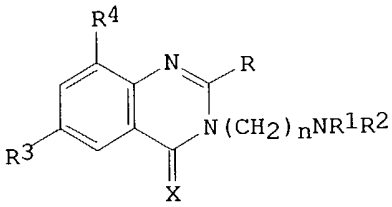
IT **65772-28-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 65772-28-3 CAPLUS
CN 4(3H)-Quinazolinone, 3-[(butylamino)methyl]-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

10/ 089,166

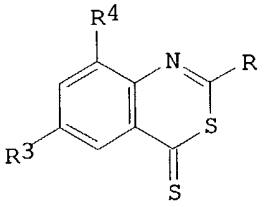


L6 ANSWER 62 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

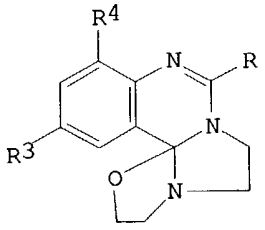
ACCESSION NUMBER: 1977:405903 CAPLUS
DOCUMENT NUMBER: 87:5903
TITLE: Heterocyclic sulfur compounds. LXXXI. 3-(Aminoalkyl)-3H-quinazoline-4-thiones and 3-(aminoalkyl)-3H-quinazolin-4-ones
AUTHOR(S): Legrand, Louis; Lozac'h, Noel
CORPORATE SOURCE: Dep. Chim., Univ. Caen, Caen, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1976), (11-12, Pt. 2), 1853-6
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 87:5903
GI



I



II

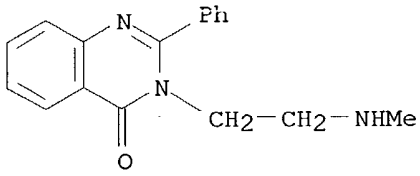


III

AB Quinazolinethiones I (X = S; R = Ph, 4-MeOC6H4, Me, H; R1 = H, R2 = Me, Et, Ph; R1 = R2 = Me, Et; R3, R4 = H, Cl; n = 2, 3) were prepared by treating benzothiazinethiones II with H2N(CH2)nNR1R2. When the reaction was carried out in aqueous EtOH, I (X = S) were accompanied by I (X = O). I (X = S) were hydrolyzed in neutral, acidic, or alkaline medium to give I (X = O). Reaction of II with H2NCH2CH2NHCH2CH2OH gave III, which are unstable and easily hydrolyzed to I (X = O).

IT **62837-99-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 62837-99-4 CAPLUS
CN 4(3H)-Quinazolinone, 3-[2-(methylamino)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)

10/ 089,166



L6 ANSWER 63 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:72544 CAPLUS
DOCUMENT NUMBER: 76:72544
TITLE: Coronary dilating 3-(3-amino-2-benzoyloxypropyl)-4(3H)-quinazolinones
INVENTOR(S): Beyerle, Rudi; Stachel, Adolf; Nitz, Rolf E.; Scholtholt, Josef
PATENT ASSIGNEE(S): Cassella Farbwerke Mainkur A.-G.
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2020233	A	19711118	DE 1970-2020233	19700425
NL 7105068	A	19711027	NL 1971-5068	19710415
US 3738985	A	19730612	US 1971-136560	19710422
RO 63328	P	19780915	RO 1971-66654	19710422
BE 766241	A1	19711025	BE 1971-102638	19710423
FR 2092091	A5	19720121	FR 1971-14533	19710423
FR 2092091	B1	19740823		
ZA 7102630	A	19720126	ZA 1971-2630	19710423
AT 306030	B	19730326	AT 1971-3522	19710423
AT 306031	B	19730326	AT 1971-3523	19710423
GB 1312392	A	19730404	GB 1971-11177	19710423
SU 403181	D	19731019	SU 1971-1648742	19710423
SU 422157	D	19740330	SU 1971-1651516	19710423
CH 556849	A	19741213	CH 1971-5966	19710423
CH 556848	A	19741213	CH 1971-5965	19710423
PL 86506	P	19760630	PL 1971-175330	19710424

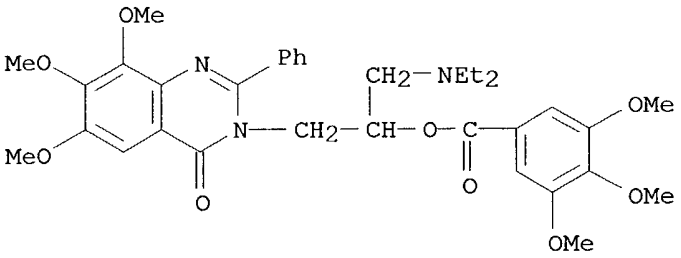
PRIORITY APPLN. INFO.: DE 1970-2020233 19700425
GI For diagram(s), see printed CA Issue.
AB About 50 title compds. [I; R = e.g. Me or Ph; R1 = e.g. Et, CH2CH:CH2, or cyclopropyl; R2 = e.g. Me, Et, or CH2CH2OH, or NR1R2 = e.g. morpholino or piperidino; R3 = e.g. 7-NO2, 6,8-Cl2, or 6,7,8-(MeO)3; R4 = especially 3,4,5-(MeO)3] were prepared either by benzoylation of the 3-(2-hydroxypropyl) derivative or by cyclization of the corresponding o-(acylamino)benzamide. Thus, 3,4,5-(MeO)3C6H2COCl in C6H6 was added to 2-methyl-3-(3-diethylamino-2-hydroxypropyl)-6,7,8-trimethoxy-4(3H)-quinazolinone in C6H6 containing Et3N at room temperature and the mixture refluxed 10 hr to give 78.7% I [R = Me; R1 = R2 = Et; R3 = 6,7,8-(MeO)3; R4 = 3,4,5-(MeO)3]. Condensation of 2,3,4,5-O2N(MeO)3C6HCOCl and Et2NCH2CH(OH)CH2NH2 in C6H6 containing Et3N gave 2,3,4,5-O2N(MeO)3C6HCONHCH2CH-(CH2NEt2)OH, which was treated with ClOCC6H2(OMe)-3,4,5 in C6H6 containing Et3N to give a product which was hydrogenated with Raney Ni in MeOH to give 2,3,4,5-H2N(MeO)3C6HCONHCH2-CH(CH2NEt2)O2CC6H2(OMe)3-3,4,5, which was refluxed 16 hr in Ac2O and treated with HCl(g) to give 43.5% I.HCl [R =

Me, R1 = R2 = Et, R3 = 6,7,8-(MeO)3, R4 = 3,4,5-(MeO)2].

IT **35249-51-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 35249-51-5 CAPLUS

CN Benzoic acid, 3,4,5-trimethoxy-, 1-[(diethylamino)methyl]-2-(6,7,8-trimethoxy-4-oxo-2-phenyl-3(4H)-quinazolinyl)ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L6 ANSWER 64 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:72543 CAPLUS
DOCUMENT NUMBER: 76:72543
TITLE: Anticonvulsive and sedatiie 3-(3-amino-2-hydroxypropyl)-4(3H)-quinazolinones
INVENTOR(S): Beyerle, Rudi; Stachel, Adolf
PATENT ASSIGNEE(S): Cassella Farbwerke Mainkur A.-G.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2020234	A	19711118	DE 1970-2020234	19700425
NL 7105069	A	19711027	NL 1971-5069	19710415
US 3748327	A	19730724	US 1971-136556	19710422
BE 766242	A1	19711025	BE 1971-102639	19710423
FR 2092090	A5	19720121	FR 1971-14532	19710423
FR 2092090	B1	19750801		
AT 306029	B	19730326	AT 1971-3521	19710423
AT 306028	B	19730326	AT 1971-3520	19710423
AT 306027	B	19730326	AT 1971-3519	19710423
GB 1312391	A	19730404	GB 1971-11176	19710423
CH 553788	A	19740913	CH 1971-5964	19710423
CH 553790	A	19740913	CH 1971-5963	19710423
CH 556343	A	19741129	CH 1971-5962	19710423

PRIORITY APPLN. INFO.: DE 1970-2020234 19700425

GI For diagram(s), see printed CA Issue.

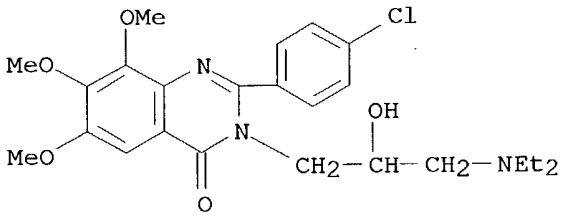
AB About 45 title compds. [I; R = e.g. Me or Ph; R1 = e.g. Et, CH2CH:CH2, or cyclopropyl; R2 = e.g. Me, Et, or CH2CH2OH, or NR1R2 = e.g. morpholino or piperidino; R3 = e.g. 7-NO2, 6,8-Cl2, or 6,7,8-(MeO)3] were prepared either by aminoalkylation of the 3-unsubstituted 4(3H)-quinazolinone obtained

from the benzoxazinone and aqueous NH3, by alkylation of 4(3H)-quinazolinone followed by amination of the side-chain, or from the benzoxazinone and H2NCH2CH(OH)CH2NR1R2 (II). Thus, 2-methyl-6,7,8-trimethoxy-4(3H)-quinazolinone (III) was added to MeOK-MeOH, the evaporated residue suspended in PhMe, γ-morpholino-β-hydroxypropyl chloride in DMF added, and the mixture heated 18 hr at 60-70° to give 84% I [R = Me, NR1R2 = morpholino, R3 = 6,7,8-(MeO)3]. A mixture of III and MeOK-MeOH was evaporated, the residue suspended in DMF, and epichlorohydrin added to the 3-(2,3-epoxypropyl) derivative, which was reacted with piperidine to give 66.5% I [R = Me, NR1R2 = piperidino, R3 = 6,7,8-(MeO)3]. Reaction of II (R1 = R2 = Et) with 2-methyl-6,7,8-trimethoxy-4H-3,1-benzoxazin-4-one for 6 hr at 140° under N gave I [R = Me, R1 = R2 = Et, R3 = 6,7,8-(MeO)3].

IT **35241-00-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 35241-00-0 CAPLUS

CN 4(3H)-Quinazolinone, 2-(4-chlorophenyl)-3-[3-(diethylamino)-2-hydroxypropyl]-6,7,8-trimethoxy- (9CI) (CA INDEX NAME)



L6 ANSWER 65 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1965:424132 CAPLUS
DOCUMENT NUMBER: 63:24132
ORIGINAL REFERENCE NO.: 63:4289d-h,4290a-g
TITLE: Substituted 4-quinazolinones as hypnotics and anticonvulsants
AUTHOR(S): Boltze, K. H.; Dell, H. D.; Lehwald, H.; Lorenz, D.; Rueberg-Schweer, M.
CORPORATE SOURCE: Dinklage Co., Cologne-Muelheim, Germany
SOURCE: Arzneimittel-Forschung (1963), 13(8), 688-701
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB A number of 4-quinazolinone derivs. was synthesized and their sedative-hypnotic and anticonvulsant activity tested in mice, and compared to 2-methyl-3-(o-tolyl)-4-quinazolinone (Metaqualon) (I). The following 4-quinazolinone derivs. were found to be active: 2-methyl-3-(3-chloro-2-methylphenyl), m. 151-3°, 2-methyl-3-(4-chloro-2-methylphenyl), m. 120-1°, 2-methyl-3-(5-chloro-2-methylphenyl), m. 148°, 2-methyl-3-(6-chloro-2-methylphenyl), m. 135°, 2-methyl-3-(2,3-dimethylphenyl), m. 169-70°, 2-methyl-3-(2,4-dimethylphenyl), m. 103-5°, 2-methyl-3-(2,6-dimethylphenyl), m. 135-6°, 2-methyl-3-(4-chlorophenyl), m. 158°, 2-methyl-3-(4-bromophenyl), m. 171-2°, 2-methyl-3-(2-nitrophenyl), m. 170-1°, 2-methyl-3-(4-nitrophenyl), m. 192-3°, 2-methyl-3-(2-hydroxyphenyl), m. 196-8°, 2-methyl-3-(2-trifluoromethyl), m. 109-10.5°, 2-methyl-3-(3-trifluoromethyl), m. 139-40°, 2-methyl-3-(2-chlorophenyl), m. 126-7°, 2-methyl-3-(β-pyridyl) (II), m. 165-6°, 2-methyl-3-(2-aminophenyl) (III), m.

168-70°. 2-methyl-3-(3-fluorophenyl), m. 130.5-31°, 2-methyl-3-(2-trifluoromethyl-4-bromophenyl), m. 161-2°, 2-methyl-3-(4-fluorophenyl), m. 131-2°, 2-methyl-3-(3-fluoro-2-methylphenyl), m. 139-40°, 2-methyl-3-(3-bromo-2-methylphenyl), m. 140-1°, 2-methyl-3-(3-iodo-2-methylphenyl), m. 147-8.5°, 2-methyl-3-(3-cyano-2-methylphenyl), m. 200-1°, 2-methyl-3-(2-fluorophenyl), m. 116-17°, 2-ethyl-3-(o-tolyl), m. 91-2°, 2-ethyl-3-(3-chloro-2-methylphenyl), m. 134-5°, 2-ethyl-3-(4-chloro-2-methylphenyl), m. 140-1°, 2-ethyl-3-(6-chloro-2-methylphenyl), m. 127-8°, 2-β-styryl-3-(o-tolyl) (IV), m. 162-3°, 2-(α-pyridyl-2-ethenyl)-3-(o-tolyl) (V), m. 195-5.5°, 2-(β-pyridyl-2-ethenyl)-3-(o-tolyl) (VI), m. 200-1°, 2-(β-pyridyl-2-ethenyl)-3-(o-chlorophenyl) (VII), m. 190-1.5°, 2-(β-piperidinoethyl)-3-(o-tolyl) (VIII), 2-(β-piperidinoethyl)-3-(3-chloro-2-methylphenyl) (IX), m. 120.5°. II was prepared from the corresponding anthranil and a slight excess of amine by heating in PhMe. III was made from the corresponding nitroquinazolinone derivative by hydrogenation with PdCl2 or Raney Ni at 50° in AcOH. IV-VII were prepared from the corresponding 2-methylquinazolinone in MeOH solution, adding an equimol. amount of KOH, heating to 70° and then slowly dropping in 1 mole of the corresponding aldehyde, refluxing 2 hrs., and filtering after 12 hrs. VIII and IX were made from the corresponding quinazolinone by boiling with a mixture of AcOH, CH_2O, and piperidine. All the other compds. were prepared from the corresponding N-acyl substituted anthranilic acid and an equimol. amount of the corresponding amine by stirring in absolute PhMe at 60°, adding 0.8 mole of PCl_3 in PhMe, refluxing 2 hrs., filtering or decanting after cooling, steam-distilling the residue and crystallizing from EtOH or Me_2CHOH. The following compds. had no or very little activity: 2-methyl-3-(3-amino-2-methylphenyl)-4-quinazolinone, m. 185-8.5°, and the following 2-methyl-4-quinazolinone derivs.: 3-(5-amino-2-methylphenyl), m. 180-1.5°; 3-(3-nitro-2-methylphenyl), m. 139-40.5°; 3-(5-nitro-2-methylphenyl), m. 235-36.5° [HCl salt m. 248-52° (decomposition)]; 3-(6-nitro-2-methylphenyl), m. 133-6° [HCl salt m. 214-16° (decomposition)]; 3-(4-nitrophenyl), m. 192-3°; 3-(4-ethoxyphenyl), m. 155-6.5°; 3-(2-ethoxy-5-methylphenyl), m. 111-13° [HCl salt m. 226-30° (decomposition)]; 3-(6-chloro-2-methoxyphenyl), m. 187°; 3-(4-chloro-2,5-dimethoxyphenyl), m. 142-4° [HCl salt m. 254-61° (decomposition)]; 3-(2-phenoxy-5-chlorophenyl), m. 105-6° (HCl salt m. 241-58°); 3-(β,β,β-trichloro-α-hydroxyethyl), m. 207-8° (decomposition); 3-(2-pyridylmethyl), m. 130-3°; 3-(2,3-dimethyl-1-phenyl-5-oxo-4-pyrazolinyl), m. 234.5-35°; 3-amino, m. 147-8°; 3-dimethylamino, m. 95-7°. 3-(4-chlorobenzylamino), m. 150-2°; 3-(4-chlorobenzalamino), m. 203.5-206°; 3-anilino, m. 208-9°; 3-(N-acetylanilino), m. 147.5-8.5°; 3-phthalimido, m. 205-7°; 3-(4-bromonaphthyl), m. 214-15°; 3-(2-acetamidophenyl), m. 208-9.5°; 3-(3-acetamido-2-methylphenyl), m. 209-10.5°; 3-(N-propionylanilino), m. 139-41.5°; 3-(3-propoxy-2-methylphenyl), m. 96-97°; 3-(2-ethoxycarbonylaminophenyl) [HCl salt m. 203-4° (decomposition)]; 3-(2,3-dichlorophenyl), m. 189.5-90.5°; 3-(4-chloronaphthyl), m. 212-13.5°; 3-(4-diethylaminoethoxyphenyl) di-HCl salt (dihydrate), m. 249-50°; 3-(4-fluoro-2-methylphenyl), m. 114-15°; 3-(2-diethylaminocarbonylphenyl), m. 148-50°; 3-(2-methoxycarbonylphenyl), m. 140-2°; 3-(3-phenyl-2-propyl), 213-14°; 3-(4-phenyl-2-butyl), m. 203.5-204°; 3-[β-(2-methylquinazolin-4-on-3-yl)ethyl], m. 299°. Also prepared were the following 4-quinazolinones: 2-Me, m. 236-7°; 2,3-dimethyl, m. 109-12.5°; 2-(β-styryl)-3-(4-chloro-2-

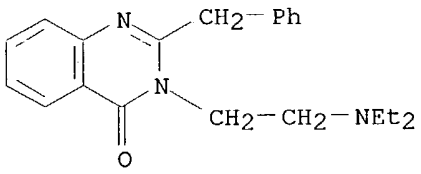
methylphenyl), m. 179.5-81.5°; 2-(3-nitro-β-styryl)-3-(o-tolyl), m. 207-7.5°; 2-[β-(3,4-methylenedioxy)styryl]-3-(o-tolyl), m. 206-7.5°; 2-[β-(4-methoxystyryl)]-3-(o-tolyl), m. 183-4°; 2-(α-4-chlorostyryl)-3-(o-tolyl), m. 154-6°; 2-(β-pyridyl-2-ethenyl)-3-(4-chloro-2-methylphenyl), m. 188.5°; 2-(β-styryl)-3-(3-chloro-2-methylphenyl), m. 183.4°; 2-(α-pyridyl-2-ethenyl)-3-methyl, m. 170-1°; 2-(α-pyridyl-2-ethenyl)-3-(3-chloro-2-methylphenyl), m. 216.5-17.5°; 2-(β-pyridyl-2-ethenyl)-3-(o-tolyl) MeI, m. 249-50°; 2-(γ-pyridyl-2-ethenyl)-3-(o-tolyl), m. 170-1°; 2-(α-furfyl-2-(ethenyl)-3-(o-tolyl), m. 146-7.5°; 2-(α-thienyl-2-ethenyl)-3(o-tolyl), m. 150-5°. 2-(6-methyl-2-pyridyl-2-ethenyl)-3-(o-tolyl), m. 152-3.5°; 2-(2-quinolyl-2-ethenyl)-3-(o-tolyl), m. 195-6°; 2-(α-phenylpropyl), m. 225°; 2-benzyl-3-(β-diethylaminoethyl)-2HCl, m. 227-30°; 2-chloromethyl-3-(2-chloromethylphenyl), m. 139°; 2-(γ,γ,γ-trichloro-β-hydroxypropyl)-3-(o-tolyl), m. 128° (HCl salt m. 143°), 2-[2-(β-pyridyl)-1,2-dibromoethyl]-3-(o-tolyl), m. 182-3°; 2-(β-pyridyl-2-ethynyl)-3-(o-tolyl), m. 251-2°; 2-dichloromethyl-3-methyl, m. 136-8.5°; 2-methyl-3-(o-tolyl)-6-chloro, m. 158-9°; 2-methyl-3-(o-tolyl)-7-chloro, m. 118-20°; 2-methyl-3-(3-chloro-2-methylphenyl)-6-nitro, m. 190-1°; 2-methyl-3-(4-chloro-2-methylphenyl)-6-nitro, m. 205-6°; 2-methyl-3-(o-tolyl)-6-nitro, m. 179-9.5°; 2-methyl-3-(o-tolyl)-6,7-dimethoxy, m. 218.5-19.5°; 2-methyl-3-(o-tolyl)-6,7-methylenedioxy, m. 159-60°. The following thione derivs. were prepared, but showed practically no activity: 2-methyl-3-(o-tolyl)quinazoline-4-thione, m. 119-28°; 2-methyl-3-(2-methyl-3-chlorophenyl)quinazoline-4-thione, m. 137-8.5°; 2-methyl-3-(2-chlorophenyl)quinazoline-4-thione, m. 134-5.5°; 2-methyl-3-(4-bromophenyl)quinazoline-4-thione, m. 185-7°. Some chemical analogous compds. were prepared, but their activity was negligible. These included: 2-methyl-3-(o-tolyl)-1,2-dihydro-4-quinazolinone, m. 192-3°; 2-methyl-3-phthalimido-1,3-dihydro-4-quinazolinone, m. 198-9°; 2-(o-tolyl)-3-methyl-1,2,4-benzothiadiazine 1,1-dioxide, m. 151-3°, prepared from 2-aminobenzenesulfonic acid o-toluidide and Et orthoacetate at 100-70°; 1-(β-styryl)-3-phenyl-4-phthalazinone, m. 178-9°, from o-cinnamoylbenzoic acid and phenylhydrazine; 1-methyl-3-(o-tolyl)-4-phthalazinone, m. 103-4°, prepared from o-acetylbenzoic acid and o-tolylhydrazine; 2-methyl-3-(o-tolyl)-6-phenyl-4-pyrimidone-HCl, m. 214-15°, prepared from 10.28 g. Et orthoacetate and 4 g. β-amino-β-phenylacrylic acid o-toluidide; 1-phenyl 3,6-dimethyl-5-(o-tolyl)pyrazolo [3,4-c]-4-pyridone, m. 169°, from 4.8 g. 1-phenyl-3,6-dimethyl-4-oxopyrano[4,3-c]pyrazole and 2.88 g. o-toluidine-HCl by boiling in 60 ml. o-toluidine 6 hrs.; 3,6-dimethyl-5-dimethylamino-1H-pyrazolo[3,4-c]-4-pyridone, m. 180°, made from 4.2 g. 6-methyl-4-hydroxy-3-acetyl-1-dimethylamino-2-pyridone, 2 g. hydrazine hydrate, and 6 ml. H_2O, 4 days at room temperature; N-(o-tolyl)-2,6-dimethyl-4-pyridone, m. 276° prepared from 8.4 g. dehydroacetic acid, 5.4 g. o-toluidine, and 6 ml. HCl, refluxing 2 hrs.

IT **1772-86-7**, 4(3H)-Quinazolinone, 2-benzyl-3-[2-(diethylamino)ethyl]-, dihydrochloride
(preparation of)

RN 1772-86-7 CAPLUS

CN 4(3H)-Quinazolinone, 3-[2-(diethylamino)ethyl]-2-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

10/ 089,166



●2 HCl

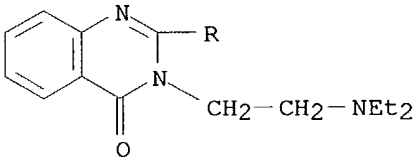
L6 ANSWER 66 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:418260 CAPLUS
DOCUMENT NUMBER: 61:18260
ORIGINAL REFERENCE NO.: 61:3107d-h,3108a
TITLE: Potential anticonvulsants. Synthesis of 2,3-substituted 4-quinazolones and quinazolo-4-thiones
AUTHOR(S): Bhaduri, A. P.; Khanna, N. M.; Dhar, M. L.
CORPORATE SOURCE: Central Drug Res. Inst., Lucknow
SOURCE: Indian Journal of Chemistry (1964), 2(4), 159-61
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB Title compds. were prepared as potential anticonvulsants. Thus, a mixture of 1 mole 2-methyl-4-quinazolone, 1 mole LiOH (NaOH did not work), and 1 mole appropriate phenacyl bromide (prepared by bromination of the corresponding acetophenone) was refluxed 5 hrs. in absolute EtOH, EtOH distilled, the residue extracted with C6H6, solvent distilled, and the residue triturated with n-hexane to give I, which were crystallized from EtOH or C6H6-petr. ether. A mixture 1 mole 2-methyl-3-(p-bromophenacyl)-4-quinazolone and 3-4 moles appropriate aromatic aldehyde was heated 2 hrs. at 160°, cooled to room temperature, triturated and washed 4-5 times with ether to give I, which were crystallized from glacial HOAc. 2-Styryl- and -substituted styryl-4-quinazolones, 1 mole freshly prepared Et2NCH2CH2Cl, and 1 mole NaOH in absolute EtOH was refluxed, the mixture cooled and filtered, the residue extracted with CHCl3, and the solvent distilled to give I. The following I were prepared [R, RI1, and b.p. (temps. given are bath temps.) or m.p. given]: (CH2)2NEt2, CH:CHC6H4Cl-o, b10-3 210°; (CH2)2NEt2, CH:CHC6H3(OMe)2-3,4, b10-3 250°; (CH2)2NEt2, CH:CHC6H4OMe-p, b10-3 220°; (CH2)2NEt2, CH:CHPh, b10-3 170°; (CH2)2NEt2, CH:CHC6H4OMe-p, m. 149-50°; CH2COC6H4Br-p, Me, m. 196-7°; CH2COC6H4Br-p, CH:CHC6H4OMe-p, m. 247-8°; CH2COC6H4Br-p, CH:CHPh, m. 260-1°; CH2Bz, Me, m. 135-6°; CH2COC6H4F-p, Me, m. 175-6°; and CH2COC6H4OMe-p, Me, m. 188°. A mixture of 1 mole 2-mercapto-3-aryl-4-quinazolone and 1.05 mole P2S5 in dry xylene was refluxed 4 hrs. at 140°, decanted, cooled, filtered off, the solid dissolved in cold dry Me2CO or dry ether, and the solution evaporated to give 70-80% II. The appropriate alkyl or aryl alkyl halide (1.1 mole), 1 mole 2-mercapto-3-arylquinazoline-4-thione, and 1 mole NaOH in EtOH was kept at room temperature (in the case of MeI) or refluxed 4-10 hrs. The separated solid was filtered off, washed with H2O, and crystallized to give II. The filtrate was evaporated to dryness, and the residue obtained triturated 3-4 times with H2O. The resulting residue contained very little of the desired product. In expts. where no solid separated out, EtOH was distilled, the residue extracted with dry-n-hexane, the solvent removed and the concentrated solution refrigerated overnight to give II. The following II (R = Ph) were prepared (RI and m.p. given): H, 248-50°; Me, 175-6°; Et, 135-6°; Pr, 79-80°; CH2CH:CH2,

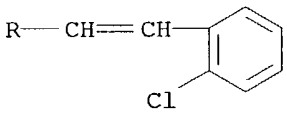
130-1°, Bu, 74-5°; Am, 63-4°; CH2Ph, 158-9°; CH2C6H4NO2-p, 174-5°; (CH2)2Ph, 88-90°; and (CH2)2NEt2, 217-18°. The following II (R = o-MeOC6H4) were prepared (data as above): H, 197-8°; Me, 146-7°; Et, 102-3°; Pr, 82-3°, Bu, 98-9°; Am, 69-70°; CH2CH:CH2, 100-1°; CH2Ph, 115-16°, CH2CO2H, 187-8°; (CH2)2Ph, 103-4°; and CH2COC6H4Br-p, 139-40°. The following II (R = p-ClC6H4) were prepared (data as above): H, 240-1°; Me, 190-1°; and Et, 147-8°. The infrared spectra of II thus prepared did not indicate the presence of a CO group, but gave a C:S peak (1360 cm.-1).

IT **95164-20-8**, 4(3H)-Quinazolinone, 2-(o-chlorostyryl)-3-[2-(diethylamino)ethyl]-
(preparation of)

RN 95164-20-8 CAPLUS

CN 4(3H)-Quinazolinone, 2-(o-chlorostyryl)-3-[2-(diethylamino)ethyl]- (7CI) (CA INDEX NAME)





L6 ANSWER 67 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1961:118616 CAPLUS

DOCUMENT NUMBER: 55:118616

ORIGINAL REFERENCE NO.: 55:22346c-f

TITLE: Heterocyclic compounds substituted by carbamoyl groups

INVENTOR(S): Engelbrecht, Heinz Joachim; Lenke, Dieter

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 19629		19600808	DD	

GI For diagram(s), see printed CA Issue.

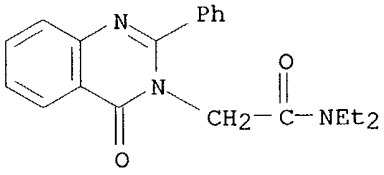
AB Alkali compds. of 1-oxo-1,2-dihydro-2,3(or 2,4)-diazines were treated with halo carboxamides to give compds. with valuable hypnotic and anticonvulsant effects. E.g., ClCH2CONEt2 16.5 was mixed slowly with a suspension of potassium 4-methyl-1-phthalazinone 19.8 in xylene 150 parts. The mixture was heated at 100° and boiled 1-2 hrs. to give o-C6H4.CO.N(CH2CONMe2).N:CR (I) (R = H), 20.1 parts, m. 160° (benzene). The following I were prepared (R and m.p. given): Ph, 146-7°; PhCH2, 158°. 2-Phenyl-4-quinazolinone-N-acetic acid diethylamide, m. 109-10°, and 2-methyl-4-quinazolinone-N-acetic acid diethylamide, m. 128-30°, were prepared The following N:CR.CR1:CR2.CO.NCH2COX were prepared (R, R1, R2, X, and m.p. given): Me, H,

H, NMe2, 158-9°; Me, H, H, piperidino, 171°; Me, H, H, PhNH, 206°; Me, Me, H, NMe2, 165°; Me, Me, cyano, NMe2, 180°. Also prepared were 3-methyl-5-phenyl-1(2H)-pyrimidinone-2-acetic acid methylamide, m. 206°, and 4-methyl-1(2H)-pyridazinone-2-propionic acid diethylamide.

IT **110330-75-1**, 3(4H)-Quinazolineacetamide, N,N-diethyl-4-oxo-2-phenyl-
(preparation of)

RN 110330-75-1 CAPLUS

CN 3(4H)-Quinazolineacetamide, N,N-diethyl-4-oxo-2-phenyl- (6CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 14:08:50 ON 11 APR 2004)

FILE 'REGISTRY' ENTERED AT 14:08:55 ON 11 APR 2004

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 781 S L1 FUL
L4 2 S L2 FUL
L5 783 S L3 OR L4

FILE 'CAPLUS' ENTERED AT 14:10:29 ON 11 APR 2004

L6 67 S L5

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-46.43	-46.43

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